(12) INTERNATIONAL [redacted]LICATION PUBLISHED UNDER THE PATENT [redacted]OPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
5 February 2004 (05.02.2004)

PCT

(10) International Publication Number
WO 2004/011417 A1

(51) International Patent Classification[7]: C07C 235/38, 235/36, 215/74, 217/80, 215/64, 217/74

(21) International Application Number: PCT/EP2003/008057

(22) International Filing Date: 23 July 2003 (23.07.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
0217211.2 24 July 2002 (24.07.2002) GB

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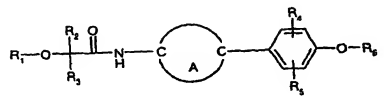
(81) Designated States (*national*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (*regional*): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:
— *with international search report*

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: N-BISARYL- AND N-ARYL-CYCLOALKYLIDENYL-ALPHA-HYDROXY-AND ALPHA-ALKOXY ACID AMIDES



(I)

(57) Abstract: The invention relates to N-bisaryl- and N-aryl-cycloalkylidenyl-a-hydroxy- and a-alkoxy acetic acid amides of the general formula (I) including the optical isomers thereof and mixtures of such isomers, wherein R_1 is hydrogen, C_1-C_{12}alkyl; C_2-C_{12}alkenyl; C_2-C_{12}alkynyl; C_1-C_{12}haloalkyl; R_2 is hydrogen; optionally substituted alkyl; optionally substituted alkenyl or optionally substituted alkynyl; R_3 is optionally substituted aryl or optionally substituted heteroaryl; A is an optionally substituted saturated or unsaturated C_3-C_8-cycloalkylidene, optionally substituted phenylidene or optionally substituted saturated or unsaturated heterocyclylidene bridge, R_4 and R_5 are each independently hydrogen or an organic radical, and R_6 is hydrogen; tri-C_1-C_4alkyl-silyl; di-C_1-C_4alkyl-phenylsilyl; C_1-C_4alkyl-diphenylsilyl; triphenylsilyl; optionally substituted alkyl; optionally substituted alkenyl or optionally substituted alkynyl. The compounds possess plant-protecting properties and are suitable for protecting plants against infestation by phytopathogenic miroorganism, especially fungi.

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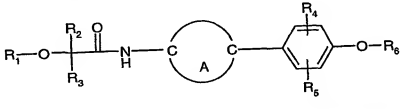
N-BISARYL- AND N-ARYL-CYCLOALKYLIDENYL-ALPHA-HYDROXY- AND ALPHA-ALKOXY ACID AMIDES

The present invention relates to novel N-bisaryl- and N-aryl-cycloalkylidenyl-α-hydroxy- and α-alkoxy acetic acid amides of formula I below. It relates to the preparation of these substances and to agrochemical compositions comprising at least one of those compounds as active ingredient. The invention relates also to the preparation of the said compositions and to the use of the compounds or of the compositions in controlling or preventing the infestation of plants by phytopathogenic microorganisms, especially fungi.

The invention relates to N-bisaryl- and N-aryl-cycloalkylidenyl-α-hydroxy- and α-alkoxy acetic acid amides of the general formula I



(I)

including the optical isomers thereof and mixtures of such isomers,

wherein

R_1 is hydrogen, C_1-C_{12}alkyl; C_2-C_{12}alkenyl; C_2-C_{12}alkynyl; C_1-C_{12}haloalkyl;

R_2 is hydrogen; optionally substituted alkyl; optionally substituted alkenyl or optionally substituted alkynyl;

R_3 is optionally substituted aryl or optionally substituted heteroaryl;

A is an optionally substituted saturated or unsaturated C_3-C_8-cycloalkylidene, optionally substituted phenylidene or optionally substituted saturated or unsaturated heterocyclylidene bridge,

R_4 and R_5 are each independently hydrogen or an organic radical, and

R_6 is hydrogen; tri-C_1-C_4alkyl-silyl; di-C_1-C_4alkyl-phenylsilyl; C_1-C_4alkyl-diphenylsilyl; triphenylsilyl; optionally substituted alkyl; optionally substituted alkenyl or optionally substituted alkynyl.

In the above definition aryl includes aromatic hydrocarbon rings like phenyl, naphthyl, anthracenyl, phenanthrenyl, with phenyl being preferred.

In the above definitions "halogen" includes fluorine, chlorine, bromine and iodine. Likewise,

the prefix "halo" includes fluorine, chlorine, bromine and iodine.

The alkyl, alkenyl and alkynyl radicals may be straight-chain or branched. This applies also to the alkyl, alkenyl or alkynyl parts of other alkyl-, alkenyl- or alkynyl-containing groups. Depending upon the number of carbon atoms mentioned, alkyl on its own or as part of another substituent is to be understood as being, for example, methyl, ethyl, propyl, butyl, pentyl, hexyl, heptyl, octyl, nonyl, decyl, undecyl, dodecyl and the isomers thereof, for example isopropyl, isobutyl, tert-butyl or sec-butyl, isopentyl or tert-pentyl.
Depending upon the number of carbon atoms mentioned, alkenyl as a group or as a structural element of other groups is to be understood as being, for example, ethenyl, allyl, 1-propenyl, buten-2-yl, buten-3-yl, penten-1-yl, penten-3-yl, hexen-1-yl, 4-methyl-3-pentenyl or 4-methyl-3-hexenyl.
Alkynyl as a group or as a structural element of other groups is, for example, ethynyl, propyn-1-yl, propyn-2-yl, butyn-1-yl, butyn-2-yl, 1-methyl-2-butynyl, hexyn-1-yl, 1-ethyl-2-butynyl or octyn-1-yl.
Optionally substituted alkyl, alkenyl or alkynyl groups may carry one or more substituents selected from halogen, alkyl, alkoxy, alkylthio, cycloalkyl, phenyl, nitro, cyano, hydroxy, mercapto, alkylcarbonyl and alkoxycarbonyl. Preferably, the number of substituents is not more than three with the exception of halogen, where e.g. the alkyl groups may be perhalogenated.

Heteroaryl stands for aromatic ring systems comprising mono-, bi- or tricyclic systems being formed by 1 or 2 five- to six-membered condensed rings wherein at least one oxygen, nitrogen or sulfur atom is present as a ring member. Typically heteroaryl comprises 1 to 4 identical or different heteroatoms selected from nitrogen, oxygen and sulfur, wherein the number of oxygen and sulfur atoms normally does not exceed one. Examples are furyl, thienyl, pyrrolyl, imidazolyl, pyrazolyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, oxadiazolyl, thiadiazolyl, triazolyl, tetrazolyl, pyridyl, pyridazinyl, pyrimidinyl, pyrazinyl, triazinyl, tetrazinyl, indolyl, benzothiophenyl, benzofuranyl, benzimidazolyl, indazolyl, benzotriazolyl, benzothiazolyl, benzoxazolyl, quinolinyl, isoquinolinyl, phthalazinyl, quinoxalinyl, quinazolinyl, cinnolinyl and naphthyridinyl.

The above aryl and heteroaryl groups may carry one or more identical or different substituents. Normally not more than three substituents are present at the same time. Examples

of substituents of aryl or heteroaryl groups are: alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkyl-alkyl, phenyl and phenyl-alkyl, it being possible in turn for all of the preceding groups to carry one or more identical or different halogen atoms; alkoxy; alkenyloxy; alkynyloxy; alkoxyalkyl; haloalkoxy, alkylthio; haloalkylthio; alkylsulfonyl; formyl; alkanoyl; hydroxy; halogen; cyano; nitro; amino; alkylamino; dialkylamino; carboxyl; alkoxycarbonyl; alkenyloxycarbonyl or alkynyloxycarbonyl.

The organic radical in R_4 and R_5 indicates that practically every substituent used in the art of organic chemistry may be placed in the indicated position at the phenylene bridge member. Preferred are however the more frequently used radicals like C_1-C_8alkyl; C_2-C_8alkenyl; C_2-C_8alkynyl; C_3-C_8cycloalkyl; C_3-C_8cycloalkyl-C_1-C_4alkyl; C_1-C_8alkylthio; C_1-C_8alkylsulfonyl; C_1-C_8alkoxy; C_3-C_8alkenyloxy; C_3-C_8alkynyloxy; C_3-C_8cycloalkoxy; C_1-C_8alkoxy-C_1-C_4alkyl; C_1-C_8alkoxycarbonyl; C_3-C_8alkenyloxycarbonyl; C_3-C_8alkynyloxycarbonyl; C_1-C_8alkanoyl; C_1-C_8dialkylamino or C_1-C_8alkylamino, wherein in each of the above radicals the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated; or like carboxyl; formyl; halogen; nitro; cyano; hydroxy or amino.

Cycloalkyl is, depending upon the number of carbon atoms mentioned, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl or cyclooctyl.

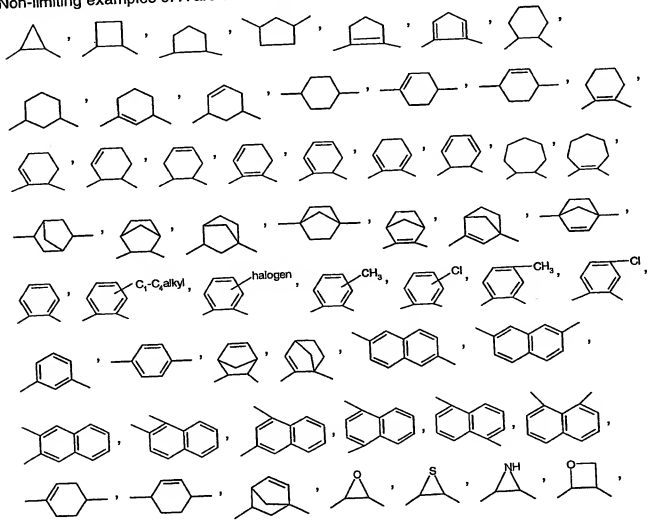
A haloalkyl group may contain one or more (identical or different) halogen atoms, and for example may stand for $CHCl_2$, CH_2F, CCl_3, CH_2Cl, CHF_2, CF_3, CH_2CH_2Br, C_2Cl_5, C_2F_5, CH_2Br, CHClBr, CF_3CH_2, etc..

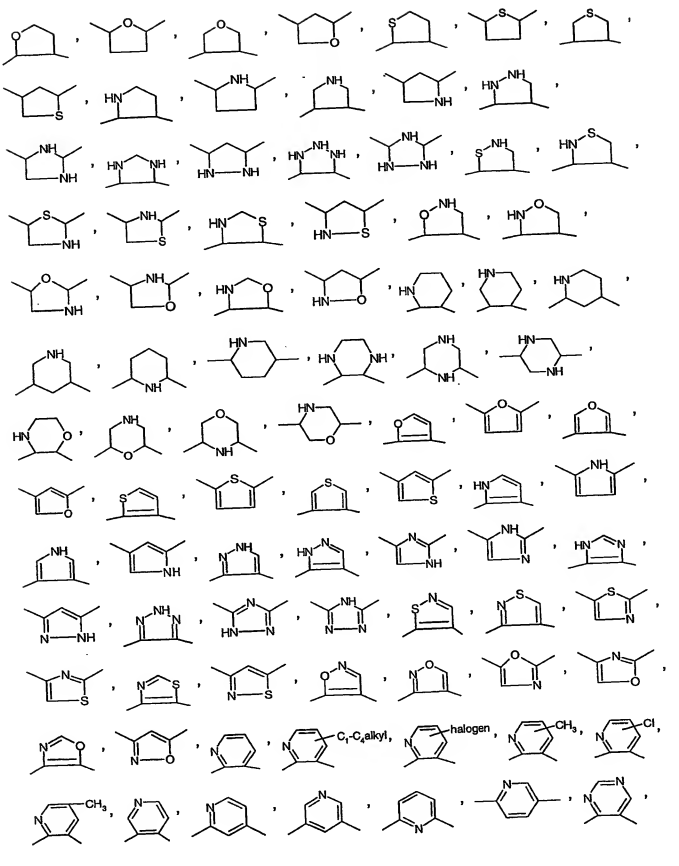
The bridge member A stands for a bivalent cyclic group (optionally substituted saturated or unsaturated C_3-C_8-cycloalkylidene, optionally substituted phenylidene or optionally substituted saturated or unsaturated heterocyclylidene) which comprises at least two carbon atoms as ring members which function as the linking ring members to the remainder of the molecule. The cyclic bivalent bridge bonded via two carbon atoms is either a hydrocarbon ring or a heterocyclic ring containing one to three heteroatoms selected from nitrogen, oxygen or sulfur, and which ring member may be of saturated, unsaturated or aromatic character, and may optionally carry one to three substituents being independently of each other selected from halogen, C_1-C_6alkyl, C_1-C_6alkoxy, C_1-C_6haloalkyl, C_1-C_6alkoxy-carbonyl, nitro or cyano. Typical examples for the bivalent cyclic bridge are cyclopropylidene, cyclopentylidene,

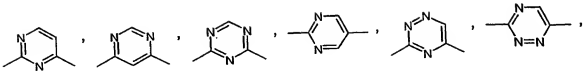
cyclopentenylidene, cyclohexylidene, cyclohexenylidene, cyclohexadienylidene, bicyclohexylidene, cycloheptanylidene, bicycloheptylidene, norbonanylidene, norbonenylidene, phenylidene, naphthylidene, tetrahydrofuranylidene, tetrahydrothienylidene, pyrrolidinylidene, pyrazolidinylidene, triazolinylidene, thiazolidinylidene, isothiazolidinylidene, oxazolidinylidene, isoxazolidinylidene, piperidinylidene, piperazinylidene, morpholinylidene, furanylidene, thienylidene, pyrrolylidene, pyrazolylidene, triazolylidene, thiazolylidene, oxazolylidene, isothiazolylidene, isoxazolylidene, oxadiazolylidene, thiadiazolylidene, pyridinylidene, triazinylidene or pyrimidinylidene.

Preferred members of this group are those wherein the two linking carbon atoms have vicinal positions in the cyclic bridge member. However, also remarkable fungicidal activity is associated with other carbon-bonded cyclic bridge members A.

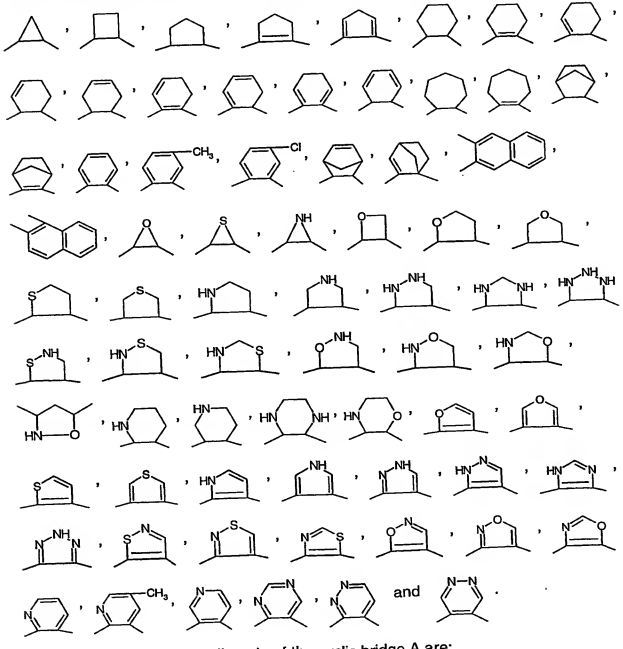
Non-limiting examples of A are the following:



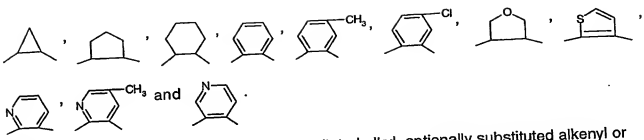

C1-C4alkyl
halogen
CH3
Cl


and


Preferred embodiments of the cyclic bridge A are the vicinally bonded ones:


and

Even more preferred embodiments of the cyclic bridge A are:



Within the definition of R_6 the optionally substituted alkyl, optionally substituted alkenyl or optionally substituted alkynyl, encompass

C_1-C_{10}alkyl; C_3-C_{10}alkenyl; C_3-C_{10}alkynyl; C_1-C_{10}haloalkyl; C_3-C_{10}haloalkenyl; C_3-C_{10}haloalkynyl; and further

benzyl optionally substituted by C_1-C_8alkyl, C_2-C_8alkenyl, C_2-C_8alkynyl, C_3-C_8cycloalkyl, C_3-$_8$cycloalkyl-C_1-C_4alkyl, C_1-C_8alkylthio, C_1-C_8alkylsulfonyl, C_1-C_8alkoxy, C_3-C_8alkenyloxy, C_3-C_8alkynyloxy, C_3-C_8cycloalkoxy, C_1-C_8alkoxy-C_1-C_4alkyl, C_1-C_8alkenyloxy-C_1-C_4alkyl, C_1-C_8alkynyloxy-C_1-C_4alkyl, C_1-C_8alkoxycarbonyl, C_3-C_8alkenyloxycarbonyl, C_3-C_8alkynyloxycarbonyl, C_1-C_8alkanoyl, C_1-C_8dialkylamino, C_1-C_8alkylamino (wherein the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated); carboxyl; formyl; halogen; nitro; cyano; hydroxy or amino;

a group $-CR_7R_8-C\equiv C-B$ wherein R_7 and R_8 are independently hydrogen or C_1-C_4alkyl; and B is either C_1-C_8alkyl or C_3-C_8cycloalkyl; phenyl or phenyl substituted by C_1-C_8alkyl, C_2-C_8alkenyl, C_2-C_8alkynyl, C_3-C_8cycloalkyl, C_3-C_8cycloalkyl-C_1-C_4alkyl, C_1-C_8alkylthio, C_1-C_8alkylsulfonyl, C_1-C_8alkoxy, C_3-C_8alkenyloxy, C_3-C_8alkynyloxy, C_3-C_8cycloalkoxy, C_1-C_8alkoxy-C_1-C_4alkyl, C_1-C_8alkoxycarbonyl, C_3-C_8alkenyloxycarbonyl, C_3-C_8alkynyloxycarbonyl, C_1-C_8alkanoyl, C_1-C_8dialkylamino, C_1-C_8alkylamino (wherein the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated); carboxyl; formyl; halogen; nitro; cyano; hydroxy or amino; or

a group $-CR_7R_8-CR_9R_{10}-X-B$ wherein R_7, R_8, R_9 and R_{10} are independently hydrogen or C_1-C_4alkyl; X is -O-, -S- or $-NR_{13}$- where R_{13} is hydrogen or C_1-C_4alkyl; and B is either C_3-C_8cycloalkyl; phenyl or phenyl substituted by C_1-C_8alkyl, C_2-C_8alkenyl, C_2-C_8alkynyl, C_3-C_8cycloalkyl, C_3-C_8cycloalkyl-C_1-C_4alkyl, C_1-C_8alkylthio, C_1-C_8alkylsulfonyl, C_1-C_8alkoxy, C_3-C_8alkenyloxy, C_3-C_8alkynyloxy, C_3-C_8cycloalkoxy, C_1-C_8alkoxy-C_1-C_4alkyl, C_1-C_8alkoxycarbonyl, C_3-C_8alkenyloxycarbonyl, C_3-C_8alkynyloxycarbonyl, C_1-C_8alkanoyl, C_1-C_8dialkylamino, C_1-C_8alkylamino (where all these alkyl, alkenyl, alkynyl or cycloalkyl containing groups may be partially or fully halogenated); carboxyl; formyl; halogen; nitro; cyano; hydroxy; or amino.

The presence of at least one asymmetric carbon atom and/or at least one asymmetric oxidized sulfur atom in the compounds of formula I means that the compounds may occur in optically isomeric forms. As a result of the presence of a possible aliphatic C=C double bond, geometric isomerism may also occur. Formula I is intended to include all those possible isomeric forms and mixtures thereof.

Preferred subgroups of compounds of formula I are those wherein

R_1 is hydrogen; C_1-C_{12}alkyl; C_2-C_{12}alkenyl; C_2-C_{12}alkynyl or C_1-C_{12}haloalkyl; or

R_1 is hydrogen; C_1-C_{12}alkyl, C_2-C_{12}alkenyl; or C_2-C_{12}alkynyl; or

R_1 is hydrogen; C_1-C_4alkyl or C_2-C_5alkynyl; or

R_1 is hydrogen or C_2-C_5alkynyl; or

R_1 is hydrogen or propargyl; or

R_1 is propargyl; or

R_2 is hydrogen; C_1-C_4alkyl; C_1-C_4haloalkyl; C_2-C_5alkenyl or C_2-C_5alkynyl; or

R_2 is hydrogen or C_1-C_4alkyl; or

R_2 is hydrogen; or

R_3 is aryl or heteroaryl, each optionally substituted with substituents selected from the group comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkyl-alkyl, phenyl and phenylalkyl, where all these groups may be substituted with one or more halogen atoms; alkoxy; alkenyloxy; alkynyloxy; alkoxy-alkyl; haloalkyl; alkylthio; haloalkylthio; alkylsulfonyl; formyl; alkanoyl; hydroxy; cyano; nitro; amino; alkylamino; dialkylamino; carboxyl; alkoxycarbonyl; alkenyloxycarbonyl and alkynyloxycarbonyl; or

R_3 is phenyl, naphthyl, biphenyl, thienyl or pyridyl, each optionally substituted by one to three substituents selected from the group comprising C_1-C_8alkyl; C_2-C_8alkenyl; C_2-C_8alkynyl; C_1-C_8haloalkyl; C_1-C_8alkoxy; C_1-C_8haloalkoxy; C_1-C_8alkylthio; C_1-C_8haloalkylthio; C_1-C_8alkylsulfonyl; halogen; cyano; nitro and C_1-C_8alkoxycarbonyl; or

R_3 is phenyl, naphthyl, thienyl or pyridyl, each optionally substituted by one to three substituents selected from the group comprising C_1-C_6alkyl; C_1-C_6haloalkyl; C_1-C_6alkoxy; C_1-C_6haloalkoxy; C_1-C_6alkylthio; C_1-C_6haloalkylthio; halogen and C_1-C_6alkoxycarbonyl; or

R_3 is thienyl or pyridyl, each optionally substituted by one to two substituents selected from the group comprising methyl, fluoro, chloro or bromo; or

R_3 is phenyl optionally substituted by one to two substituents selected from the group comprising methyl, ethyl, methoxy, fluoro, chloro, bromo, phenyl, trifluoromethyl, trifluoromethylthio or trifluoromethoxy; or

R_3 is phenyl optionally substituted by one to two substituents selected from the group comprising fluoro, chloro and bromo, or is phenyl optionally substituted by one substituent selected from the group comprising methyl, ethyl, methoxy, phenyl, trifluoromethyl, trifluoromethylthio or trifluoromethoxy; or

A is optionally substituted saturated or unsaturated carbocycle or heterocycle linked to the remainder of the molecule by vicinal ring member carbon atoms; or

A is optionally substituted 1,2-phenylene; optionally substituted 2,3-pyridinylidene; optionally substituted 3,4-pyridinylidene; optionally substituted 2,3-thienylidene; optionally substituted 4,5-thiazolinylidene; optionally substituted 1,2-cyclohexylidene; optionally substituted 1,2-cyclopentylidene; optionally substituted 3,4-tetrahydrofuranylidene or optionally substituted 1,2-cyclopropylidene; or

A is 1,2-phenylene; 2,3-pyridinylidene; 3,4-pyridinylidene or 2,3-thienylidene; each optionally substituted with halogen, C_1-C_6alkyl, C_1-C_6alkoxy, C_1-C_6haloalkyl, C_1-C_6alkoxycarbonyl, nitro or cyano; or is 1,2-cyclohexylidene; 1,2-cyclopentylidene; 3,4-tetrahydrofuranylidene or 1,2-cyclopropylidene, each optionally substituted with C_1-C_6-alkyl; or

A is 1,2-phenylene; 1,2-cyclohexylidene or 1,2-cyclopropylidene; or

A is 1,2-phenylene or 1,2-cyclohexylidene; or

R_4 is hydrogen; C_1-C_8alkyl; C_2-C_8alkenyl; C_2-C_8alkynyl; C_3-C_8cycloalkyl; C_3-C_8cycloalkyl-C_1-C_4alkyl; C_1-C_8alkylthio; C_1-C_8alkylsulfonyl; C_1-C_8alkoxy; C_3-C_8alkenyloxy; C_3-C_8alkynyloxy; C_3-C_8cycloalkoxy; C_1-C_8alkoxy-C_1-C_4alkyl; C_1-C_8alkoxycarbonyl; C_3-C_8alkenyloxycarbonyl; C_3-C_8alkynyloxycarbonyl; C_1-C_8alkanoyl; C_1-C_8dialkylamino or C_1-C_8alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated; or is carboxyl; formyl; halogen; nitro; cyano; hydroxy or amino; or

R_4 is hydrogen; C_1-C_8alkyl; C_1-C_8haloalkyl; C_2-C_8alkenyl; C_2-C_8alkynyl; C_1.C_8alkylthio; C_1-C_8haloalkylthio; C_1-C_8alkoxy; C_1-C_8haloalkoxy; C_1-C_8alkoxy-C_1-C_4alkyl; C_1.C_8alkoxycarbonyl; C_1-C_8alkanoyl; formyl; halogen; nitro; cyano or hydroxy; or

R_4 is hydrogen; C_1-C_4alkyl; C_1-C_4alkoxy; C_1-C_4haloalkoxy or halogen; or

R_4 is hydrogen; methoxy or ethoxy; or

R_5 is hydrogen; C_1-C_8alkyl; C_2-C_8alkenyl; C_2-C_8alkynyl; C_3-C_8cycloalkyl; C_3-C_8cycloalkyl-C_1-C_4alkyl; C_1-C_8alkylthio; C_1-C_8alkylsulfonyl; C_1-C_8alkoxy; C_3-C_8alkenyloxy; C_3-C_8alkynyloxy; C_3-C_8cycloalkoxy; C_1-C_8alkoxy-C_1-C_4alkyl; C_1-C_8alkoxycarbonyl; C_3-C_8alkenyloxycarbonyl; C_3-C_8alkynyloxycarbonyl; C_1-C_8alkanoyl; C_1-C_8dialkylamino or C_1-C_8alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated; or is carboxyl; formyl; halogen; nitro; cyano; hydroxy or amino; or

R_5 is hydrogen; C_1-C_4alkyl; C_1-C_4haloalkyl; C_1-C_4alkoxy; C_1-C_4alkoxycarbonyl; C_1-C_4alkanoyl; formyl; halogen; cyano or hydroxy; or

R_5 is hydrogen; C_1-C_4alkyl; halogen or cyano; or

R_5 is hydrogen; or

R_6 is hydrogen; C_1-C_{10}alkyl; C_3-C_{10}alkenyl; C_3-C_{10}alkynyl; C_1-C_{10}haloalkyl; C_3-C_{10}haloalkenyl; C_3-C_{10}haloalkynyl; benzyl; benzyl substituted with C_1-C_8alkyl, C_2-C_8alkenyl, C_2-C_8alkynyl, C_3-C_8cycloalkyl, C_3-$_8$cycloalkyl-C_1-C_4alkyl, C_1-C_8alkylthio, C_1-C_8alkylsulfonyl, C_1-C_8alkoxy, C_3-C_8alkenyloxy, C_3-C_8alkynyloxy, C_3-C_8cycloalkoxy, C_1-C_8alkoxy-C_1-C_4alkyl, C_1-C_8alkenyloxy-C_1-C_4alkyl, C_1-C_8alkynyloxy-C_1-C_4alkyl, C_1-C_8alkoxycarbonyl, C_3-C_8alkenyloxycarbonyl, C_1-C_8alkynyloxycarbonyl, C_1-C_8alkanoyl, C_1-C_8dialkylamino, C_1-C_8alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated, carboxyl; formyl; halogen; nitro; cyano; hydroxy; or amino; or

is a group $-CR_7R_8-C\equiv C$-B wherein R_7 and R_8 are independently hydrogen or C_1-C_4alkyl; and B is either C_1-C_8alkyl or C_3-C_8cycloalkyl; phenyl or phenyl substituted by C_1-C_8alkyl, C_2-C_8alkenyl, C_2-C_8alkynyl, C_3-C_8cycloalkyl, C_3-C_8cycloalkyl-C_1-C_4alkyl, C_1-C_8alkylthio, C_1-C_8alkylsulfonyl, C_1-C_8alkoxy, C_3-C_8alkenyloxy, C_3-C_8alkynyloxy, C_3-C_8cycloalkoxy, C_1-C_8alkoxy-C_1-C_4alkyl, C_1-C_8alkoxycarbonyl, C_3-C_8alkenyloxycarbonyl, C_3-C_8alkynyloxycarbonyl, C_1-C_8alkanoyl, C_1-C_8dialkylamino, C_1-C_8alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated; carboxyl; formyl; halogen; nitro; cyano; hydroxy or amino; or

is a group $-CR_7R_8-CR_9R_{10}$-X-B wherein R_7, R_8, R_9 and R_{10} are independently hydrogen or C_1-C_4alkyl; X is -O-, -S- or $-NR_{13}$- where R_{13} is hydrogen or C_1-C_4alkyl; and B is either C_3-C_8cycloalkyl; phenyl or phenyl substituted by C_1-C_8alkyl, C_2-C_8alkenyl, C_2-C_8alkynyl, C_3-C_8cycloalkyl, C_3-C_8cycloalkyl-C_1-C_4alkyl, C_1-C_8alkylthio, C_1-C_8alkylsulfonyl, C_1-C_8alkoxy, C_3-C_8alkenyloxy, C_3-C_8alkynyloxy, C_3-C_8cycloalkoxy, C_1-C_8alkoxy-C_1-C_4alkyl, C_1-C_8alkoxycarbonyl, C_3-C_8alkenyloxycarbonyl, C_3-C_8alkynyloxycarbonyl, C_1-C_8alkanoyl, C_1-C_8dialkylamino, C_1-C_8alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated; carboxyl; formyl; halogen; nitro; cyano; hydroxy or amino; or

R_6 is hydrogen; C_1-C_8alkyl; C_3-C_8alkenyl; C_3-C_8alkynyl; C_1-C_8alkoxy-C_1-C_4alkyl; C_3-C_8alkenyloxy-C_1-C_4alkyl; C_3-C_8alkynyloxy-C_1-C_4alkyl; benzyl; benzyl substituted with C_1-C_8alkyl, C_2-C_8alkenyl, C_2-C_8alkynyl, C_1-C_8alkylthio, C_1-C_8alkoxy, C_1-C_8haloakyl, halogen, nitro or cyano; a group $-CH_2-C\equiv C$-B where B is either C_3-C_6cycloalkyl, phenyl or phenyl substituted with C_1-C_8alkyl, C_1-C_8alkylthio, C_1-C_8alkoxy, C_1-C_8haloalkyl, halogen, nitro or cyano; or a

group $-CH_2-CH_2-O-B$ where B is either C_3-C_6cycloalkyl, phenyl or phenyl substituted with C_1-C_8-alkyl, C_1-C_8-alkylthio, C_1-C_8-alkoxy, C_1-C_8-haloalkyl, halogen, nitro or cyano; or

R_6 is C_1-C_6alkyl; C_3-C_6alkenyl; C_3-C_6alkynyl; C_1-C_6alkoxy-C_1-C_4alkyl; C_3-C_6alkenyloxy-C_1-C_4alkyl; C_3-C_6alkynyloxy-C_1-C_4alkyl; benzyl; benzyl substituted with C_1-C_4alkyl; C_1-C_8haloalkyl or halogen; a group $-CH_2-C\equiv C-B$ where B is either C_3-C_6cycloalkyl, phenyl or phenyl substituted with by C_1-C_4alkyl or halogen, or a group $-CH_2-CH_2-O-B$ where B is either C_3-C_6cycloalkyl, phenyl or phenyl substituted with C_1-C_8alkyl or halogen; or

R_6 is C_1-C_6alkyl; C_3-C_6alkenyl; C_3-C_6alkynyl; C_1-C_6alkoxy-C_1-C_4alkyl; C_3-C_6alkenyloxy-C_1-C_4alkyl; C_3-C_6alkynyloxy-C_1-C_4alkyl; benzyl; benzyl substituted with C_1-C_4alkyl, C_1-C_8haloalkyl or halogen; a group $-CH_2-C\equiv C-B$ where B is either C_3-C_6cycloalkyl, phenyl or phenyl substituted with C_1-C_4alkyl or halogen; or a group $-CH_2-CH_2-O-B$ where B is either C_3-C_6cycloalkyl, phenyl or phenyl substituted with C_1-C_8alkyl or halogen; or

R_6 is C_1-C_6alkyl; C_3-C_6alkenyl; C_3-C_6alkynyl; a group $-CH_2-C\equiv C-B$ where B is either C_3-C_6cycloalkyl or phenyl optionally substituted with C_1-C_4alkyl or halogen; or

R_6 is selected from methyl, ethyl, propyl, allyl, butenyl, propargyl, butynyl, pentynyl, cyclopropylpropargyl, phenylpropargyl, bromophenylpropargyl and chlorophenylpropargyl.

R_6 is selected from methyl, ethyl, propargyl, 3-butynyl and 3-pentynyl.

Further preferred subgroups of the compounds of formula I are those wherein

1) R_1 is hydrogen; C_1-C_{12}alkyl; C_2-C_{12}alkenyl; C_2-C_{12}alkynyl or C_1-C_{12}haloalkyl; and R_2 is hydrogen and R_3 is phenyl; naphthyl or heteroaryl formed by 1 or 2 five- or six-membered rings containing 1 to 4 identical or different heteroatoms selected from oxygen, nitrogen or sulfur, wherein each aromatic rings is optionally mono- or poly-substituted with C_1-C_8alkyl, C_2-C_8alkenyl, C_2-C_8alkynyl, C_3-C_8cycloalkyl, C_1-C_8alkoxy, C_3-C_8alkenyloxy, C_3-C_8alkynyloxy, C_3-C_8cycloalkyloxy, C_1-C_8alkylthio, C_1-C_8alkylsulfonyl, C_1-C_8alkanoyl, C_1-C_8alkoxycarbonyl, C_3-C_8alkenyloxycarbonyl, C_3-C_8alkynyloxycarbonyl, C_1-C_6dialkylamino, C_1-C_8alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated, or with halogen, nitro, cyano, hydroxy or amino; and A is optionally substituted saturated or unsaturated carbocycle or heterocycle linked to the remainder of the molecule by vicinal ring member carbon atoms; and R_4 is hydrogen; C_1-C_8alkyl; C_2-C_8alkenyl; C_2-C_8alkynyl; C_3-C_8cycloalkyl; C_3-C_8cycloalkyl-C_1-C_4alkyl; C_1-C_8alkylthio; C_1-C_8alkylsulfonyl; C_1-C_8alkoxy; C_3-C_8alkenyloxy; C_3-C_8alkynyloxy; C_3-C_8cycloalkoxy; C_1-C_8alkoxy-C_1-C_4alkyl; C_1-C_8alkoxycarbonyl; C_3-C_8alkenyloxycarbonyl; C_3-C_8alkynyloxycarbonyl; C_1-C_8alkanoyl; C_1-C_8di-

alkylamino or C_1-C_8alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated; or is carboxyl; formyl; halogen; nitro; cyano; hydroxy or amino; and R_5 is hydrogen; C_1-C_8alkyl; C_2-C_8alkenyl; C_2-C_8alkynyl; C_3-C_8cycloalkyl; C_3-C_8cycloalkyl-C_1-C_4alkyl; C_1-C_8alkylthio; C_1-C_8alkylsulfonyl; C_1-C_8alkoxy; C_3-C_8alkenyloxy; C_3-C_8alkynyloxy; C_3-C_8cycloalkoxy; C_1-C_8alkoxy-C_1-C_4alkyl; C_1-C_8alkoxycarbonyl; C_3-C_8alkenyloxycarbonyl; C_3-C_8alkynyloxycarbonyl; C_1-C_8alkanoyl; C_1-C_8dialkylamino or C_1-C_8alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated; or is carboxyl; formyl; halogen; nitro; cyano; hydroxy or amino; and R_6 is hydrogen; C_1-C_{10}alkyl; C_3-C_{10}alkenyl; C_3-C_{10}alkynyl; C_1-C_{10}haloalkyl; C_3-C_{10}haloalkenyl; C_3-C_{10}haloalkynyl; benzyl; benzyl substituted with C_1-C_8alkyl, C_2-C_8alkenyl, C_2-C_8alkynyl, C_3-C_8cycloalkyl, C_3-$_8$cycloalkyl-C_1-C_4alkyl, C_1-C_8alkylthio, C_1-C_8alkylsulfonyl, C_1-C_8alkoxy, C_3-C_8alkenyloxy, C_3-C_8alkynyloxy, C_3-C_8cycloalkoxy, C_1-C_8alkoxy-C_1-C_4alkyl, C_1-C_8alkenyloxy-C_1-C_4alkyl, C_1-C_8alkynyloxy-C_1-C_4alkyl, C_1-C_8alkoxycarbonyl, C_3-C_8alkenyloxycarbonyl, C_3-C_8alkynyloxycarbonyl, C_1-C_8alkanoyl, C_1-C_8dialkylamino, C_1-C_8alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated, carboxyl; formyl; halogen; nitro; cyano; hydroxy; or amino; a group $-CR_7R_8-C\equiv C-B$ wherein R_7 and R_8 are independently hydrogen or C_1-C_4alkyl; and B is either C_1-C_8alkyl or C_3-C_8cycloalkyl; phenyl or phenyl substituted by C_1-C_8alkyl, C_2-C_8alkenyl, C_2-C_8alkynyl, C_3-C_8cycloalkyl, C_3-C_8cycloalkyl-C_1-C_4alkyl, C_1-C_8alkylthio, C_1-C_8alkylsulfonyl, C_1-C_8alkoxy, C_3-C_8alkenyloxy, C_3-C_8alkynyloxy, C_3-C_8cycloalkoxy, C_1-C_8alkoxy-C_1-C_4alkyl, C_1-C_8alkoxycarbonyl, C_3-C_8alkenyloxycarbonyl, C_3-C_8alkynyloxycarbonyl, C_1-C_8alkanoyl, C_1-C_8dialkylamino, C_1-C_8alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated; carboxyl; formyl; halogen; nitro; cyano; hydroxy or amino; or a group $-CR_7R_8-CR_9R_{10}-X-B$ wherein R_7, R_8, R_9 and R_{10} are independently hydrogen or C_1-C_4alkyl; X is -O-, -S- or $-NR_{13}-$ where R_{13} is hydrogen or C_1-C_4alkyl; and B is either C_3-C_8cycloalkyl; phenyl or phenyl substituted by C_1-C_8alkyl, C_2-C_8alkenyl, C_2-C_8alkynyl, C_3-C_8cycloalkyl, C_3-C_8cycloalkyl-C_1-C_4alkyl, C_1-C_8alkylthio, C_1-C_8alkylsulfonyl, C_1-C_8alkoxy, C_3-C_8alkenyloxy, C_3-C_8alkynyloxy, C_3-C_8cycloalkoxy, C_1-C_8alkoxy-C_1-C_4alkyl, C_1-C_8alkoxycarbonyl, C_3-C_8alkenyloxycarbonyl, C_3-C_8alkynyloxycarbonyl, C_1-C_8alkanoyl, C_1-C_8dialkylamino, C_1-C_8alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated; carboxyl; formyl; halogen; nitro; cyano; hydroxy or amino;

or

2) R_1 is hydrogen, C_1-C_{12}alkyl, C_2-C_{12}alkynyl or C_1-C_{12}haloalkyl; and R_2 is hydrogen and R_3 is phenyl, naphthyl, furyl, thienyl, imidazolyl, thiazolyl, oxazolyl, pyridyl, pyrimidinyl,

benzothienyl, benzothiazolyl, chinolinyl, pyrazolyl, indolyl, benzimidazolyl or pyrrolyl, wherein each of the aromatic rings is optionally substituted with 1 to 3 substituents selected from C_1-C_8alkyl, C_2-C_8alkenyl, C_3-C_8cycloalkyl, C_1-C_8alkoxy, C_1-C_8alkylthio, C_1-C_8alkoxycarbonyl, C_1-C_8haloalkyl, C_1-C_8haloalkoxy, C_1-C_8haloalkylthio, halogen, nitro or cyano; and A is optionally substituted 1,2-phenylene; optionally substituted 2,3-pyridinylidene; optionally substituted 3,4-pyridinylidene; optionally substituted 2,3-thienylidene; optionally substituted 4,5-thiazolinylidene; optionally substituted 1,2-cyclohexylidene; optionally substituted 1,2-cyclopentylidene; optionally substituted 3,4-tetrahydrofuranylidene or optionally substituted 1,2-cyclopropylidene; and R_4 is hydrogen; C_1-C_8alkyl; C_1-C_8haloalkyl; C_2-C_8alkenyl; C_2-C_8alkynyl; C_1-C_8alkylthio; C_1-C_8haloalkylthio; C_1-C_8alkoxy; C_1-C_8haloalkoxy; C_1-C_8alkoxy-C_1-C_4alkyl; C_1-C_8alkoxycarbonyl; C_1-C_8alkanoyl; formyl; halogen; nitro; cyano or hydroxy; and R_5 is hydrogen; C_1-C_4alkyl; C_1-C_4haloalkyl; C_1-C_4alkoxy; C_1-C_4alkoxycarbonyl; C_1-C_4alkanoyl; formyl; halogen; cyano or hydroxy; and R_6 is hydrogen; C_1-C_8alkyl; C_3-C_8alkenyl; C_3-C_8alkynyl; C_1-C_6alkoxy-C_1-C_4alkyl; C_3-C_6alkenyloxy-C_1-C_4alkyl; C_3-C_6alkynyloxy-C_1-C_4alkyl; benzyl; benzyl substituted with C_1-C_8alkyl, C_2-C_8alkenyl, C_2-C_8alkynyl, C_1-C_8alkylthio, C_1-C_8alkoxy, C_1-C_8haloakyl, halogen, nitro or cyano; a group $-CH_2-C\equiv C-B$ where B is either C_1-C_8alkyl or C_3-C_6cycloalkyl, phenyl or phenyl substituted with C_1-C_8alkyl, C_1-C_8alkylthio, C_1-C_8alkoxy, C_1-C_8haloalkyl, halogen, nitro or cyano; or a group $-CH_2-CH_2-O-B$ where B is either C_3-C_6cycloalkyl, phenyl or phenyl substituted with C_1-C_8-alkyl, C_1-C_8-alkylthio, C_1-C_8-alkoxy, C_1-C_8-haloalkyl, halogen, nitro or cyano; or

3) R_1 is hydrogen, C_1-C_4alkyl, or C_2-C_5alkynyl; and R_2 is hydrogen and R_3 is phenyl or phenyl substituted with 1 to 3 substituents selected from C_1-C_8alkyl, C_2-C_8alkenyl, C_3-C_6cycloalkyl, C_1-C_8alkoxy, C_1-C_8alkylthio, C_1-C_8alkoxycarbonyl, C_1-C_8haloalkyl, C_1-C_8haloalkoxy, C_1-C_8haloalkylthio, halogen, nitro or cyano; and A is 1,2-phenylene; 2,3-pyridinylidene; 3,4-pyridinylidene or 2,3-thienylidene; each optionally substituted with halogen, C_1-C_6alkyl, C_1-C_6alkoxy, C_1-C_6haloalkyl, C_1-C_8alkoxycarbonyl, nitro or cyano; or is 1,2-cyclohexylidene; 1,2-cyclopentylidene; 3,4-tetrahydrofuranylidene or 1,2-cyclopropylidene, each optionally substituted with C_1-C_6-alkyl; and R_4 is hydrogen; C_1-C_4alkyl; C_1-C_4alkoxy; C_1-C_4haloalkoxy or halogen; and R_5 is hydrogen; C_1-C_4alkyl; halogen or cyano; and R_6 is C_1-C_8alkyl; C_3-C_6alkenyl; C_3-C_6alkynyl; C_1-C_6alkoxy-C_1-C_4alkyl; C_3-C_6alkenyloxy-C_1-C_4alkyl; C_3-C_6alkynyloxy-C_1-C_4alkyl; benzyl; benzyl substituted with C_1-C_4alkyl; C_1-C_8haloalkyl or halogen; a group $-CH_2-C\equiv C-B$ where B is either C_1-C_8alkyl or C_3-C_6cycloalkyl, phenyl or phenyl substituted with by C_1-C_4alkyl or halogen, or a group $-CH_2-CH_2-O-B$ where B is either C_3-C_6cycloalkyl, phenyl or phenyl substituted with C_1-C_8alkyl or halogen; or

5) R_1 is hydrogen or C_2-C_5alkynyl; and R_2 is hydrogen and R_3 is phenyl; C_{1-4}alkylphenyl or halophenyl; and A is 1,2-phenylene; 1,2-cyclohexylidene or 1,2-cyclopropylidene; and R_4 is hydrogen; methoxy or ethoxy; and R_5 is hydrogen; and R_6 is C_1-C_6alkyl; C_3-C_6alkenyl; C_3-C_6alkynyl; C_1-C_6alkoxy-C_1-C_4alkyl; C_3-C_6alkenyloxy-C_1-C_4alkyl; C_3-C_6alkynyloxy-C_1C_4alkyl; benzyl; benzyl substituted with C_1-C_4alkyl, C_1.C_6haloalkyl or halogen; a group $-CH_2$-C≡C-B where B is either C_3-C_6cycloalkyl, phenyl or phenyl substituted with C_1-C_4alkyl or halogen; or a group $-CH_2-CH_2$-O-B where B is either C_3.C_6cycloalkyl, phenyl or phenyl substituted with C_1-C_6alkyl or halogen; or

6) R_1 is hydrogen or propargyl; and R_2 is hydrogen; and R_3 is phenyl optionally substituted by one to two substituents selected from the group comprising methyl, ethyl, methoxy, fluoro, chloro, bromo, phenyl, trifluoromethyl, trifluoromethylthio or trifluoromethoxy; and A is 1,2-phenylene or 1,2-cyclohexylidene; and R_4 is hydrogen or methoxy; and R_5 is hydrogen; and R_6 is selected from methyl, ethyl, propyl, allyl, butenyl, propargyl, butynyl, pentynyl, cyclopropylpropargyl, phenylpropargyl, bromophenylpropargyl and chlorophenylpropargyl;or

7) R_1 is propargyl; and R_2 is hydrogen; and R_3 is phenyl optionally substituted by one to two substituents selected from the group comprising fluoro, chloro and bromo, or is phenyl optionally substituted by one substituent selected from the group comprising methyl, ethyl, methoxy, phenyl, trifluoromethyl, trifluoromethylthio or trifluoromethoxy; and A is 1,2-phenylene or 1,2-cyclohexylidene; and R_4 is hydrogen or methoxy; and R_5 is hydrogen; and R_6 is selected from methyl, ethyl, propargyl, 3-butynyl and 3-pentynyl.

Preferred individual compounds are:
N-(3',4'-dimethoxy-biphenyl-2-yl)-2-hydroxy-2-phenyl-acetamide,
2-(4-chlorophenyl)-N-(3',4'-dimethoxy-biphenyl-2-yl)-2-hydroxy-acetamide,
2-(4-bromophenyl)-N-(3',4'-dimethoxy-biphenyl-2-yl)-2-hydroxy-acetamide,
2-(3,4-dichlorophenyl)-N-(3',4'-dimethoxy-biphenyl-2-yl)-2-hydroxy-acetamide,
N-(3',4'-dimethoxy-biphenyl-2-yl)-2-phenyl-2-prop-2-ynyloxy-acetamide,
2-(4-chlorophenyl)-N-(3',4'-dimethoxy-biphenyl-2-yl)-2-prop-2-ynyloxy-acetamide,
2-(4-bromophenyl)-N-(3',4'-dimethoxy-biphenyl-2-yl)-2-prop-2-ynyloxy-acetamide,
2-(3,4-dichlorophenyl)-N--(3',4'-dimethoxy-biphenyl-2-yl)-2-prop-2-ynyloxy-acetamide,
2-hydroxy-N-(3'-methoxy-4'-prop-2-ynyloxy-biphenyl-2-yl)-2-phenyl-acetamide,
2-(4-chlorophenyl)-2-hydroxy-N-(3'-methoxy-4'-prop-2-ynyloxy-biphenyl-2-yl)-acetamide,
2-(4-bromophenyl)-2-hydroxy-N-(3'-methoxy-4'-prop-2-ynyloxy-biphenyl-2-yl)-acetamide,
2-(3,4-dichlorophenyl)-2-hydroxy-N-(3'-methoxy-4'-prop-2-ynyloxy-biphenyl-2-yl)-acetamide,

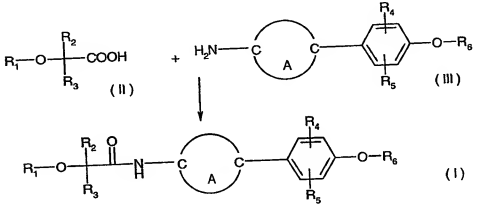
N-(3'-methoxy-4'-prop-2-ynyloxy-biphenyl-2-yl)-2-phenyl-2-prop-2-ynyloxy-acetamide,
2-(4-chlorophenyl)-N-(3'-methoxy-4'-prop-2-ynyloxy-biphenyl-2-yl)-2-prop-2-ynyloxy-acetamide,
2-(4-bromophenyl)-N-(3'-methoxy-4'-prop-2-ynyloxy-biphenyl-2-yl)-2-prop-2-ynyloxy-acetamide,
2-(3,4-dichlorophenyl)-N-(3'-methoxy-4'-prop-2-ynyloxy-biphenyl-2-yl)-2-prop-2-ynyloxy-acetamide,
2-hydroxy-N-(3'-methoxy-4'-pent-2-ynyloxy-biphenyl-2-yl)-2-phenyl-acetamide,
2-(4-chlorophenyl)-2-hydroxy-N-(3'-methoxy-4'-pent-2-ynyloxy-biphenyl-2-yl)-acetamide,
2-(4-bromophenyl)-2-hydroxy-N-(3'-methoxy-4'-pent-2-ynyloxy-biphenyl-2-yl)-acetamide,
2-(3,4-dichlorophenyl)-2-hydroxy-N-(3'-methoxy-4'-pent-2-ynyloxy-biphenyl-2-yl)-acetamide,
N-(3'-methoxy-4'-pent-2-ynyloxy-biphenyl-2-yl)-2-phenyl-2-prop-2-ynyloxy-acetamide,
2-(4-chlorophenyl)-N-(3'-methoxy-4'-pent-2-ynyloxy-biphenyl-2-yl)-2-prop-2-ynyloxy-acetamide,
2-(4-bromophenyl)-N-(3'-methoxy-4'-pent-2-ynyloxy-biphenyl-2-yl)-2-prop-2-ynyloxy-acetamide,
2-(3,4-dichlorophenyl)-N-(3'-methoxy-4'-pent-2-ynyloxy-biphenyl-2-yl)-2-prop-2-ynyloxy-acetamide,
N-[*trans*-2-(3,4-dimethoxy-phenyl)-cyclohexyl]-2-hydroxy-2-phenyl-acetamide,
2-(4-chlorophenyl)-N-[*trans*-2-(3,4-dimethoxy-phenyl)-cyclohexyl]-2-hydroxy-acetamide,
2-(4-bromophenyl)-N-[*trans*-2-(3,4-dimethoxy-phenyl)-cyclohexyl]-2-hydroxy-acetamide,
2-(3,4-dichlorophenyl)-N-[*trans*-2-(3,4-dimethoxy-phenyl)-cyclohexyl]-2-hydroxy-acetamide,
N-[*trans*-2-(3,4-dimethoxy-phenyl)-cyclohexyl]-2-phenyl-2-prop-2-ynyloxy-acetamide,
2-(4-chlorophenyl)-N-[*trans*-2-(3,4-dimethoxy-phenyl)-cyclohexyl]-2-prop-2-ynyloxy-acetamide,
2-(4-bromophenyl)-N-[*trans*-2-(3,4-dimethoxy-phenyl)-cyclohexyl]-2-prop-2-ynyloxy-acetamide,
2-(3,4-dichlorophenyl)-N-[*trans*-2-(3,4-dimethoxy-phenyl)-cyclohexyl]-2-prop-2-ynyloxy-acetamide,
2-hydroxy-N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-2-phenyl-acetamide,
2-(4-chlorophenyl)-2-hydroxy-N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-acetamide,
2-(4-bromophenyl)-2-hydroxy-N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-

acetamide,
2-(3,4-dichlorophenyl)-2-hydroxy-N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-acetamide,
N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-2-phenyl-2-prop-2-ynyloxy-acetamide,
2-(4-chlorophenyl)-N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-2-prop-2-ynyloxy-acetamide,
2-(4-bromophenyl)-N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-2-prop-2-ynyloxy-acetamide,
2-(3,4-dichlorophenyl)-N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-2-prop-2-ynyloxy-acetamide,
2-hydroxy-N-[*trans*-2-(3-methoxy-4-pent-2-ynyloxy-phenyl)-cyclohexyl]-2-phenyl-acetamide,
2-(4-chlorophenyl)-2-hydroxy-N-[*trans*-2-(3-methoxy-4-pent-2-ynyloxy-phenyl)-cyclohexyl]-acetamide,
2-(4-bromophenyl)-2-hydroxy-N-[*trans*-2-(3-methoxy-4-pent-2-ynyloxy-phenyl)-cyclohexyl]-acetamide,
2-(3,4-dichlorophenyl)-2-hydroxy-N-[*trans*-2-(3-methoxy-4-pent-2-ynyloxy-phenyl)-cyclohexyl]-acetamide,
N-[*trans*-2-(3-methoxy-4-pent-2-ynyloxy-phenyl)-cyclohexyl]-2-phenyl-2-prop-2-ynyloxy-acetamide,
2-(4-chlorophenyl)-N-[*trans*-2-(3-methoxy-4-pent-2-ynyloxy-phenyl)-cyclohexyl]-2-prop-2-ynyloxy-acetamide,
2-(4-bromophenyl)-N-[*trans*-2-(3-methoxy-4-pent-2-ynyloxy-phenyl)-cyclohexyl]-2-prop-2-ynyloxy-acetamide, and
2-(3,4-dichlorophenyl)-N-[*trans*-2-(3-methoxy-4-pent-2-ynyloxy-phenyl)-cyclohexyl]-2-prop-2-ynyloxy-acetamide.

Certain α-hydroxy- and α-alkoxy acid derivatives with a distinct chemical structure have been proposed for controlling plant-destructive fungi (for example in WO 94/29267 and WO 96/17840). The action of those preparations is not, however, satisfactory in all aspects of agricultural needs. Surprisingly, with the compound structure of formula I, new kinds of microbiocides having a high level of activity have been found.

The N-bisaryl- and N-aryl-cycloalkylidenyl-α-hydroxy- and α-alkoxy acid amides of formula I may be obtained according to one of the following processes:

a)

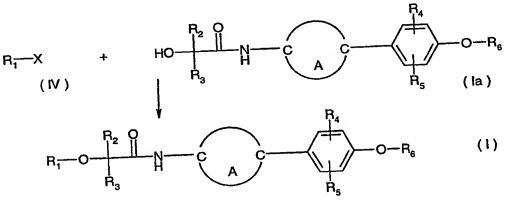


An α-hydroxy- or α-alkoxy acid of formula II or a carboxyl-activated derivative of an α-hydroxy- or α-alkoxy acid of formula II wherein R_1, R_2 and R_3 are as defined for formula I, is reacted with an amine of formula III wherein A, R_4, R_5 and R_6, are as defined for formula I, optionally in the presence of a base and optionally in the presence of a diluting agent. Carboxyl-activated derivatives of the α-hydroxy- or α-alkoxy acid of formula II encompasses all compounds having an activated carboxyl group like an acid halide, such as an acid chloride or an acid fluoride, like symmetrical or mixed anhydrides, such as mixed anhydrides with O-alkylcarbonates, like activated esters, such as p-nitrophenylesters or N-hydroxysuccinimidesters, as well as in situ produced activated forms of the amino acid of formula II by condensating agents, such as dicyclohexylcarbodiimide, carbonyldiimidazol, benzotriazol-1-yloxy-tris(dimethylamino)phosphonium hexafluorophosphate, O-benzotriazol-1-yl N,N,N',N'-bis(pentamethylene)uronium hexafluorophosphate, O-benzotriazol-1-yl N,N,N',N'-bis(tetramethylene)uronium hexafluorophosphate, O-benzotriazol-1-yl N,N,N',N'-tetramethyluronium hexafluorophosphate or benzotriazol-1-yloxy-tripyrrolidinophosphonium hexafluorophosphate. The mixed anhydrides of the α-hydroxy- or α-alkoxy acids of the formula II can be prepared by reaction of a α-hydroxy- or α-alkoxy acid of formula II with chloroformic acid esters like chloroformic acid alkylesters, such as ethyl chloroformate or isobutyl chloroformate, optionally in the presence of an organic or inorganic base like a tertiary amine, such as triethylamine, N,N-diisopropyl-ethylamine, pyridine, N-methyl-piperidine or N-methyl-morpholine. The acid halide of the α-hydroxy- or α-alkoxy acids of formula II may be prepared by reaction of a α-hydroxy- or α-alkoxy acid of formula II with an inorganic halide, such as thionyl chloride or phosphorous pentachloride, or with organic halides, such as

phosgene or oxalyl chloride.

The present reaction is preferably performed in an inert solvent like aromatic, non-aromatic or halogenated hydrocarbons, such as chlorohydrocarbons e.g. dichloromethane or toluene; ketones e.g. acetone; esters e.g. ethyl acetate; amides e.g. N,N-dimethylformamide; nitriles e.g. acetonitrile; or ethers e.g. diethylether, tert-butyl-methylether, dioxane or tetrahydrofuran or water. It is also possible to use mixtures of these solvents. The reaction is performed optionally in the presence of an organic or inorganic base like a tertiary amine, e.g. triethylamine, N,N-diisopropyl-ethylamine, pyridine, N-methyl-piperidine or N-methyl-morpholine, like a metal hydroxide or a metal carbonate, preferentially an alkali hydroxide or an alkali carbonate, such as lithium hydroxide, sodium hydroxide or potassium hydroxide at temperatures ranging from -80 to +150 °C, preferentially at temperatures ranging from -40 to +40 °C.

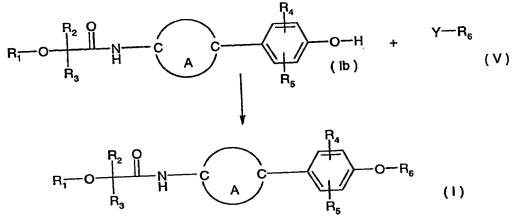
b)



Compounds of formula I, in which R_1 is different from hydrogen, may also be prepared by reaction of a α-hydroxy acid amide of formula Ia wherein A, R_2, R_3, R_4, R_5 and R_6 are as defined for formula I, with a compound of formula IV wherein R_1 is as defined for formula I with the exception of hydrogen and where X is a leaving group like a halide such as a chloride or bromide, or a sulfonic ester such as a tosylate, mesylate or triflate.
The reaction is preferably performed in an inert solvent like aromatic, non-aromatic or halogenated hydrocarbons, such as chlorohydrocarbons e.g. dichloromethane or toluene; ketones e.g. acetone; esters e.g. ethyl acetate; amides e.g. N,N-dimethylformamide; nitriles e.g. acetonitrile; or ethers e.g. diethylether, tert-butyl-methylether, dioxane or tetrahydrofuran or water. It is also possible to use mixtures of these solvents. The reaction is performed optionally in the presence of an organic or inorganic base like a tertiary amine, e.g. triethylamine, N,N-diisopropyl-ethylamine, pyridine, N-methyl-piperidine or N-methyl-morpholine, like a metal hydroxide or a metal carbonate, preferentially an alkali hydroxide or an alkali

carbonate, such as lithium hydroxide, sodium hydroxide or potassium hydroxide at temperatures ranging from -80 to +150 °C, preferentially at temperatures ranging from -40 to +40°C.

c)

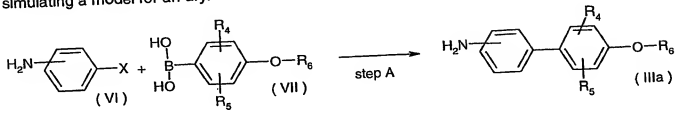


The compounds of formula I , where R_6 is different from hydrogen, may also be prepared by reaction of a phenol of formula Ib where A, R_1, R_2, R_3, R_4, and R_5 are as defined for formula I, with a compound of formula V where R_6 is as defined for formula I with the exception of hydrogen and where Y is a leaving group like a halide such as a chloride or bromide or a sulfonic ester such as a tosylate, mesylate or triflate.

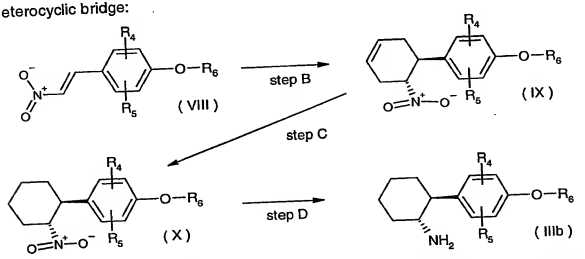
The reaction is performed in an inert solvent like aromatic, non-aromatic or halogenated hydrocarbons, such as chlorohydrocarbons e.g. dichloromethane or toluene; ketones e.g. acetone or 2-butanone; esters e.g. ethyl acetate; ethers e.g. diethylether, tert-butyl-methyl-ether, dioxane or tetrahydrofuran, amides e.g. dimethylformamide, nitriles e.g. acetonitrile, alcohols e.g. methanol, ethanol, isopropanol, n-butanol or tert-butanol, sulfoxides e.g. dimethylsulfoxide or water. It is also possible to use mixtures of these solvents. The reaction is performed optionally in the presence of an organic or inorganic base like a tertiary amine, such as triethylamine, N,N-diisopropyl-ethylamine, pyridine, N-methyl-piperidine or N-methyl-morpholine, like a metal hydroxide, a metal carbonate or a metal alkoxide, preferentially an alkali hydroxide, an alkali carbonate or an alkali alkoxide, such as lithium hydroxide, sodium hydroxide, potassium hydroxide, sodium carbonate, potassium carbonate, sodium methoxide, potassium methoxide, sodium ethoxide, potassium ethoxide, sodium tert-butoxide or potassium tert-butoxide at temperatures ranging from -80 to +20°C, preferentially at temperatures ranging from 0 to +120 °C.

Preparation of compounds of formula III, illustrated with one example of the phenylidene series where A is phenylidene yielding the aromatic amines of formula IIIa, but also

simulating a model for an aryl or an aromatic heterocyclic bridge:



and one example of the cyclohexylidene series where A is cyclohexylidene yielding the non-aromatic amines of formula IIIb, also simulating saturated or unsaturated cyclic and heterocyclic bridge:



The compounds of formula III, in particulart those of formulae IIIa and IIIb, have been created for the synthesis of the novel active ingredients of formula I. They constitute another feature of present invention.

Step A: The compounds of formula IIIa wherein R_4, R_5 and R_6 are as defined for formula I may be prepared by palladium-catalyzed cross-coupling reaction of an aryl boronic acid derivative of formula VII wherein R_4, R_5 and R_6 are as defined for formula I, with an aryl halide of formula VI wherein X is a halogen, preferentially bromine or iodine under the conditions of the Suzuki coupling, according to known procedures (Y. Miura et al., *Synthesis* **1995**, 1419; M. Hird et al, *Synlett* **1999**, 438).

Step B: A ω-nitrostyrene of formula VIII wherein R_4, R_5 and R_6 are as defined for formula I is heated in a Diels-Alder reaction (M. B. Smith and J. March, *Advanced Organic Chemistry*, 5th ed., Wiley, **2001**, p. 1062) together with 1,3-butadiene to give a 4-nitro-5-aryl-cyclohex-enyl derivative of formula IX, wherein R_4, R_5 and R_6 are as defined for formula I under conditions known per se (C. M. Nachtsheim and A. W. Frahm, *Arch. Pharm. (Weinheim)* **1989**, *322*, 187).

Step C: A 4-nitro-5-aryl-cyclohexenyl derivative of formula IX, wherein R_4, R_5 and R_6 are as defined for formula I is reduced to a 1-nitro-2-aryl-cyclohexyl derivative of formula X, wherein R_4, R_5 and R_6 are as defined for formula I. The reduction is preferrably performed by catalytic hydrogenation in the presence of a metal catalyst like palladium on carbon or palladium hydroxide on carbon at pressures ranging from 1 to 100 bar, preferentially at pressures ranging from 1 to 50 bar; and temperatures ranging from 0 to +150 °C, preferentially at temperatures ranging from +20 to +100 °C.
Step D: A 1-nitro-2-aryl-cyclohexyl derivative of formula X, wherein R_4, R_5 and R_6 are as defined for formula I is then further reduced to an 2-arylcyclohexylamine of formula IIIb, wherein R_4, R_5 and R_6 are as defined for formula I. The reduction is preferrably performed in the presence of a reagent such as zinc, tin or iron, each of these metals together with a mineral acid like hydrochloric acid or sulfuric acid, indium together with ammonium chloride, hydrazine or hydrazine hydrate together with Raney-Nickel, sodium borohydride, lithium aluminum hydride or by catalytic hydrogenation in the presence of a catalyst such as platinum oxide at temperatures ranging from -80 to +200 °C, preferentially at temperatures ranging from -40 to +120 °C.

The compounds of formula I are oils or solids at room temperature and are distinguished by valuable microbiocidal properties. They can be used in the agricultural sector or related fields preventively and curatively in the control of plant-destructive microorganisms. The compounds of formula I according to the invention are distinguished at low rates of concentration not only by outstanding microbiocidal, especially fungicidal, activity but also by being especially well tolerated by plants.

Surprisingly, it has now been found that the compounds of formula I have for practical purposes a very advantageous biocidal spectrum in the control of phytopathogenic microorganisms, especially fungi. They possess very advantageous curative and preventive properties and are used in the protection of numerous crop plants. With the compounds of formula I it is possible to inhibit or destroy phytopathogenic microorganisms that occur on various crops of useful plants or on parts of such plants (fruit, blossom, leaves, stems, tubers, roots), while parts of the plants which grow later also remain protected, for example, against phytopathogenic fungi.

The novel compounds of formula I prove to be effective against specific genera of the fungus class Fungi imperfecti (e.g. Cercospora), Basidiomycetes (e.g. Puccinia) and Ascomycetes (e.g. Erysiphe and Venturia) and especially against Oomycetes (e.g. Plasmopara, Peronospora, Pythium and Phytophthora). They therefore represent in plant protection a valuable addition to the compositions for controlling phytopathogenic fungi. The compounds of formula I can also be used as dressings for protecting seed (fruit, tubers, grains) and plant cuttings from fungal infections and against phytopathogenic fungi that occur in the soil.

The invention relates also to compositions comprising compounds of formula I as active ingredient, especially plant-protecting compositions, and to the use thereof in the agricultural sector or related fields.

In addition, the present invention includes the preparation of those compositions, wherein the active ingredient is homogeneously mixed with one or more of the substances or groups of substances described herein. Also included is a method of protecting plants which comprises applying the novel compounds of formula I or the novel compositions to said plants.

Target crops to be protected within the scope of this invention include, for example, the following species of plants: cereals (wheat, barley, rye, oats, rice, maize, sorghum and related species); beet (sugar beet and fodder beet); pomes, stone fruit and soft fruit (apples, pears, plums, peaches, almonds, cherries, strawberries, raspberries and blackberries); leguminous plants (beans, lentils, peas, soybeans); oil plants (rape, mustard, poppy, olives, sunflowers, coconut, castor oil plants, cocoa beans, groundnuts); cucurbitaceae (marrows, cucumbers, melons); fibre plants (cotton, flax, hemp, jute); citrus fruit (oranges, lemons, grapefruit, mandarins); vegetables (spinach, lettuce, asparagus, cabbages, carrots, onions, tomatoes, potatoes, paprika); lauraceae (avocado, cinnamon, camphor) and plants such as tobacco, nuts, coffee, sugar cane, tea, pepper, vines, hops, bananas and natural rubber plants, and also ornamentals.

The compounds of formula I are normally used in the form of compositions and can be applied to the area or plant to be treated simultaneously or in succession with other active ingredients. Those other active ingredients may be fertilisers, micronutrient donors or other preparations that influence plant growth. It is also possible to use selective herbicides or

insecticides, fungicides, bactericides, nematicides, molluscicides or mixtures of several of those preparations, if desired together with further carriers, surfactants or other application-promoting adjuvants customarily employed in formulation technology.

The compounds of formula I can be mixed with other fungicides, resulting in some cases in unexpected synergistic activities, e.g. synergistic enhancement of the biological effects. Preferred active ingredients advantageous as additives to the compositions comprising the active ingredient of formula I are: azoles, such as azaconazole, bitertanol, bromuconazole, cyproconazole, difenoconazole, diniconazole, epoxiconazole, fenbuconazole, fluquinconazole, flusilazole, flutriafol, hexaconazole, imazalil, S-imazalil, imibenconazole, ipconazole, metconazole, myclobutanil, oxpoconazole, pefurazoate, penconazole, pyrifenox, prochloraz, propiconazole, prothioconazole, simeconazole, tebuconazole, tetraconazole, triadimefon, triadimenol, triflumizole and triticonazole; pyrimidinyl carbinoles, such as ancymidol, fenarimol and nuarimol; 2-amino-pyrimidines, such as bupirimate, dimethirimol and ethirimol; morpholines, such as dodemorph, fenpropidine, fenpropimorph, spiroxamine and tridemorph; anilinopyrimidines, such as cyprodinil, mepanipyrim and pyrimethanil; pyrroles, such as fenpiclonil and fludioxonil; phenylamides, such as benalaxyl, furalaxyl, metalaxyl, R-metalaxyl, ofurace and oxadixyl; benzimidazoles, such as benomyl, carbendazim, debacarb, fuberidazole and thiabendazole; dicarboximides, such as chlozolinate, dichlozoline, iprodione, myclozoline, procymidone and vinclozoline; carboxamides, such as carboxin, fenfuram, flutolanil, furametpyr, mepronil, oxycarboxin and thifluzamide; guanidines, such as guazatine, dodine and iminoctadine; strobilurines, such as azoxystrobin, dimoxystrobin (SSF-129), fluoxastrobin, kresoxim-methyl, metominostrobin, orysastrobin, picoxystrobin, pyraclostrobin and trifloxystrobin; dithiocarbamates, such as ferbam, mancozeb, maneb, metiram, propineb, thiram, zineb and ziram; N-halomethylthiotetrahydrophthalimides, such as captafol, captan, dichlofluanid, fluoromides, folpet and tolyfluanid; Copper-compounds, such as Bordeaux mixture, copper hydroxide, copper oxychloride, copper sulfate, cuprous oxide, mancopper and oxine-copper; nitrophenol-derivatives, such as dinocap and nitrothal-isopropyl; organo-P-derivatives, such as edifenphos, iprobenphos, isoprothiolane, phosdiphen, pyrazophos and tolclofos-methyl; various others, such as acibenzolar-S-methyl, anilazine, benthiavalicarb, blasticidin-S, boscalid, chinomethionate, chloroneb, chlorothalonil, IKF-916 (proposed name cyazofamid), cyflufenamid, cymoxanil, dichlone, diclomezine, dicloran, diethofencarb, dimethomorph, ethaboxam, fenoxanil, SYP-LI90 (proposed name: flumorph), dithianon, etridiazole, famoxadone, fenamidone, fentin, ferimzone, fluazinam,

flusulfamide, fenhexamid, fosetyl-aluminium, hymexazol, iprovalicarb, kasugamycin, methasulfocarb, metrafenone, pencycuron, phthalide, picobenzamid, polyoxins, probenazole, propamocarb, pyroquilon, proquinazid, quinoxyfen, quintozene, silthiofam, sulfur, triazoxide, triadinil, tricyclazole, triforine, validamycin, or zoxamide.

Suitable carriers and surfactants may be solid or liquid and correspond to the substances ordinarily employed in formulation technology, such as e.g. natural or regenerated mineral substances, solvents, dispersants, wetting agents, tackifiers, thickeners, binders or fertilisers. Such carriers and additives are described, for example, in WO 95/30651.

A preferred method of applying a compound of formula I, or an agrochemical composition comprising at least one of those compounds, is application to the foliage (foliar application), the frequency and the rate of application depending upon the risk of infestation by the pathogen in question. The compounds of formula I may also be applied to seed grains (coating) either by impregnating the grains with a liquid formulation of the active ingredient or by coating them with a solid formulation.

The compounds of formula I are used in unmodified form or, preferably, together with the adjuvants conventionally employed in formulation technology, and are for that purpose advantageously formulated in known manner e.g. into emulsifiable concentrates, coatable pastes, directly sprayable or dilutable solutions, dilute emulsions, wettable powders, soluble powders, dusts, granules, and by encapsulation in e.g. polymer substances. As with the nature of the compositions, the methods of application, such as spraying, atomising, dusting, scattering, coating or pouring, are chosen in accordance with the intended objectives and the prevailing circumstances.

Advantageous rates of application are normally from 1 g to 2 kg of active ingredient (a.i.) per hectare (ha), preferably from 10 g to 1 kg a.i./ha, especially from 25 g to 750 g a.i./ha. When used as seed dressings, rates of from 0.001 g to 1.0 g of active ingredient per kg of seed are advantageously used.

The formulations, i.e. the compositions, preparations or mixtures comprising the compound(s) (active ingredient(s)) of formula I and, where appropriate, a solid or liquid adjuvant, are prepared in known manner, e.g. by homogeneously mixing and/or grinding the

active ingredient with extenders, e.g. solvents, solid carriers and, where appropriate, surface-active compounds (surfactants).

Further surfactants customarily used in formulation technology will be known to the person skilled in the art or can be found in the relevant technical literature.

The agrochemical compositions usually comprise 0.01 to 99 % by weight, preferably 0.1 to 95 % by weight, of a compound of formula I, 99.99 to 1 % by weight, preferably 99.9 to 5 % by weight, of a solid or liquid adjuvant, and 0 to 25 % by weight, preferably 0.1 to 25 % by weight, of a surfactant.

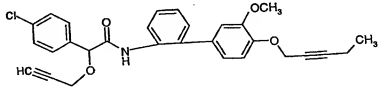
Whereas commercial products will preferably be formulated as concentrates, the end user will normally employ dilute formulations.

The compositions may also comprise further ingredients, such as stabilisers, antifoams, viscosity regulators, binders and tackifiers, as well as fertilisers or other active ingredients for obtaining special effects.

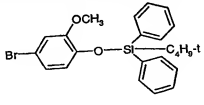
The following Examples illustrate the invention described above, without limiting the scope thereof in any way. Temperatures are given in degrees Celsius.

Preparation Examples for compounds of formula I :

Example A1.1 : 2-(4-Chlorophenyl)-N-(3'-methoxy-4'-pent-2-ynyloxy-biphenyl-2-yl)-2-prop-2-ynyloxy-acetamide

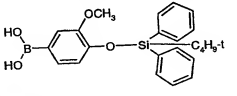


a) (4-Bromo-2-methoxy-phenoxy)-tert-butyl-diphenyl-silane



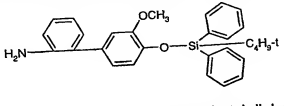
76.8 ml (300 mmol) tert-Butyldiphenylchlorosilane are added to a solution of 40.61 g (200 mmol) 4-bromoguaiacol and 27.23 g (400 mmol) imidazole in 200 ml dichloromethane at 0°C. The mixture is stirred for 4 hours at room temperature. The solution is diluted with CH_2Cl_2 and extracted with 300 ml water. The solvent of the organic phase is evaporated and the residue is purified by flash-chromatography (ethyl acetate/hexane 3:97), yieling (4-bromo-2-methoxy-phenoxy)-tert-butyl-diphenyl-silane as a colorless oil.
^{1}H-NMR ($CDCl_3$, 300 MHz): 1.15 (s, 9 H, t-Bu), 3.55 (s, 3 H, OMe), 6.55 (d, 1H, ar), 6.78 (2m, 1 H, ar), 6.66 (s, 1H, ar), 7.3-7.5 (m, 6H, ar), 7.65-7.75 (m, 4H, ar).

b) 4-(tert-Butyl-diphenyl-silanyloxy)-3-methoxy-phenyl-boronic acid



At –78°C, 140 ml n-BuLi (1.6 M in hexane, 223.8 mmol) in 600 ml THF are added to a solution of 89.92 g (203.4 mmol) (4-bromo-2-methoxy-phenoxy)-tert-butyl-diphenyl-silane over a period of 30 minutes. After further 30 minutes at –78°C, 140.9 ml (610.4 mmol) triisopropyl-borate are added over a period of 30 minutes. The mixture is allowed to warm up to room temperature and is then hydrolysed at 0°C with a 10% HCl solution within 30 minutes. After separation of the water phase, the organic phase is dried over $MgSO_4$, condensed and the residue is crystallized from ethyl acetate and a mixture of ethyl acetate/heptane, yielding . 4-(tert-butyl-diphenyl-silanyloxy)-3-methoxy-phenyl-boronic acid is isolated as a light yellow solid (m.p. 193-196°C).

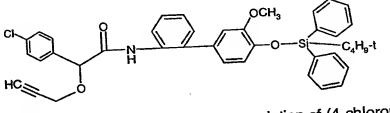
c) 4'-(tert-Butyl-diphenyl-silanyloxy)-3'-methoxy-biphenyl-2-ylamine



A solution of 17.89 g (44.0 mmol) 4-(tert-butyl-diphenyl-silanyloxy)-3-methoxy-phenyl-boronic acid, 6.89 g (31.45 mmol) 2-iodoaniline, 17.4 g (125.8 mmol) K_2CO_3 and 425 mg (6 mol%) $Pd(OAc)_2$ in 140 ml THF and 80 ml H_2O is heated to reflux for 20 hours. After cooling the mixture is filtrated over cellite and concentrated. The residue is dissolved in

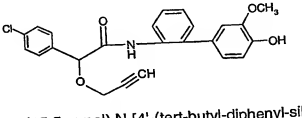
ethyl acetate and washed with water. After drying ($MgSO_4$) and evaporating the solvent, the residue is subjected to flash-chromatography (ethyl acetate/hexane 1:9). Yield: 4'-(tert-Butyl-diphenyl-silanyloxy)-3'-methoxy-biphenyl-2-ylamine is isolated as a colorless oil.
^{1}H-NMR ($CDCl_3$, 300 MHz): 1.15 (s, 9 H, t-Bu), 3.55 (s, 3 H, OMe), 6.6 –6.9 (m, 5H, ar), 7.05 – 7.15 (m, 2H, ar), 7.30 – 7.50 (m, 6H, ar), 7.75 (m, 4H, ar).

d) N-[4'-(tert-Butyl-diphenyl-silanyloxy)-3'-methoxy-biphenyl-2-yl]-2-(4-chloro-phenyl)-2-prop-2-ynyloxy-acetamide



Oxalyl chloride (4.3 g, 33 mmol) is added to a solution of (4-chlorophenyl-prop-2-ynyloxy-acetic acid (6.8 g, 30 mmol) in a mixture of 150 ml of dichloromethane and few drops of N,N-dimethylformamide. The reaction mixture is stirred for 4 hours at room temperature and then added to a solution of 4'-(tert-butyl-diphenyl-silanyloxy)-3'-methoxy-biphenyl-2-ylamine (13.8 g, 30 mmol) and triethylamine (4.6 g, 45 mmol) in 150 ml of dichloromethane. The resulting mixture is stirred for 16 hours at room temperature under a nitrogen atmosphere. Subsequently, the mixture is diluted with chloroform and extracted with water. The combined organic layer is dried over sodium sulfate and evaporated and the remaining crude product is subjected to flash-chromatography (ethyl acetate/hexane 3;7) yielding N-[4'-(tert-butyl-diphenyl-silanyloxy)-3'-methoxy-biphenyl-2-yl]-2-(4-chlorophenyl)-2-prop-2-ynyloxy-acetamide as an orange oil.
^{1}H-NMR ($CDCl_3$, 300 MHz): 1.15 (s, 9 H, t-Bu), 2.39 (t, 1H, C≡CH), 3.61 (s, 3 H, OMe), 3.80 (dd, 1H, CH_2C≡C), 3.92 (dd, 1H, CH_2C≡C), 4.99 (s, 1H), 6.63 – 8.72 (m, 22H, ar, NH).

e) 2-(4-Chlorophenyl)-N-(4'-hydroxy-3'-methoxy-biphenyl-2-yl)-2-prop-2-ynyloxy-acetamide



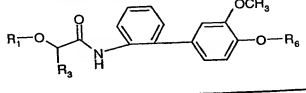
A solution of 10.2 g (15.5 mmol) N-[4'-(tert-butyl-diphenyl-silanyloxy)-3'-methoxy-biphenyl-2-

yl]-2-(4-chlorophenyl)-2-prop-2-ynyloxy-acetamide and 24.5 g (77.5 mmol) tetrabutylammonium fluoride in 200 ml of dichloromethane is stirred for 4 hours at room temperature. After extracting with water / ethyl acetate and evaporation of the organic phase, the residue is subjected to flash-chromatography (ethyl acetate/hexane 4:6). Yield : 2-(4-chlorophenyl)-N-(4'-hydroxy-3'-methoxy-biphenyl-2-yl)-2-prop-2-ynyloxy-acetamide, m.p. 140 – 142 °C.
^{1}H-NMR ($CDCl_3$, 300 MHz): 2.48 (t, 1H, CΞCH), 3.89 (s, 3 H, OMe), 3.93 (dd, 1H, CH_2CΞC), 4.10 (dd, 1H, CH_2CΞC), 5.03 (s, 1H), 6.84 – 8.22 (m, 12H, ar, NH).

f) A solution of 1.3 g (3.1 mmol) 2-(4-chloro-phenyl)-N-(4'-hydroxy-3'-methoxy-biphenyl-2-yl)-2-prop-2-ynyloxy-acetamide, 6.0 ml (6.0 mmol) of a 1M solution of sodium methoxide in methanol and 0.5 g (4.7 mmol) 2-pentynyl chloride in 50 ml of methanol is heated to reflux for 3 hours. After cooling, the reaction mixture is poured into ethyl acetate. The organic layer is washed with brine, dried over sodium sulfate and evaporated. The remaining product is subjected to flash-chromatography (ethyl acetate/hexane 4:6) to yield 2-(4-chloro-phenyl)-N-(3'-methoxy-4'-pent-2-ynyloxy-biphenyl-2-yl)-2-prop-2-ynyloxy-acetamide as yellow oil.
^{1}H-NMR ($CDCl_3$, 300 MHz): 1.13 (t, 3H, Me), 2.22 (q, 2H, CH_2), 2.50 (t, 1H, CΞCH), 3.88 (s, 3 H, OMe), 3.95 (d, 1H, CH_2CΞC), 4.07 (d, 1H, CH_2CΞC), 4.82 (d, 2H, CH_2), 5.04 (s, 1H), 6.88 – 8.78 (m, 12H, ar, NH).

According to the example A1.1 described above the compounds listed in table A1 are obtained.

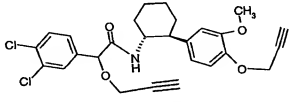
Table A1 (Ph stands for phenyl) :



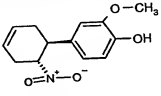
No.	R_1	R_3	R_6	physico-chemical data
A1.01	-CH_2-CΞCH	Ph	CH_3	Oil
A1.02	-CH_2-CΞCH	4-Cl-Ph	-Si(C_4H_9-t)(C_6H_5)$_2$	Oil
A1.03	-CH_2-CΞCH	4-Cl-Ph	H	m.p. 140-142
A1.04	-CH_2-CΞCH	4-Br-Ph	CH_3	Oil

A1.05	$-CH_2-C\equiv CH$	4-Cl-Ph	CH_3	Oil
A1.06	$-CH_2-C\equiv CH$	4-Cl-Ph	C_2H_5	Oil
A1.07	$-CH_2-C\equiv CH$	Ph	C_2H_5	m.p. 102-104
A1.08	$-CH_2-C\equiv CH$	4-Cl-Ph	$-CH_2-C\equiv CCH_2CH_3$	Oil
A1.09	$-CH_2-CH=CH_2$	4-Cl-Ph	CH_3	Oil
A1.10	$-CH_2-C\equiv CH$	3,4-Cl_2-Ph	CH_3	Oil
A1.11	$-CH_2-CH=CH_2$	4-Cl-Ph	C_2H_5	Oil

Example A1.2 : 2-(3,4-Dichlorophenyl)-N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-2-prop-2-ynyloxy-acetamide



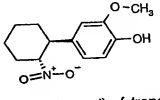
a) *trans*-2-Methoxy-4-(6-nitro-cyclohex-3-enyl)-phenol



A mixture of 50 g of 3–methoxy–4-hydroxy–ω–nitrostyrene, 1.0 g (9.1 mmol) of hydrochinone and 55 g (1.02 mol) of 1,3–butadiene in 200ml toluene is made at –78°C. This mixture is stirred at +130°C for 4 days in an autoclave. Subsequently, the toluene is evaporated in vacuum. The dark brown oil is purified by crystallization from ethanol. This method allows to obtain *trans*-2-methoxy-4-(6-nitro-cyclohex-3-enyl)-phenol.

^{1}H-NMR ($CDCl_3$, 300 MHz): 2.28 – 2.83 (m, 4H, CH_2), 3.34 (td, 1H), 3.87 (s, 3H, OCH_3), 4.89 (td, 1H), 5.53 (s, 1H, OH), 5.71 – 5.84 (m, 2H, CH=CH), 6.69 (d, 1H, ar), 6.73 (dd, 1H, ar), 6.85 (d, 1H, ar).

b) *trans*-2-Methoxy-4-(2-nitro-cyclohexyl)-phenol

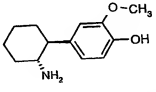


lin 300 ml methanol 8.4 g (33.7 mmol) of *trans*-2-methoxy-4-(6-nitro-cyclohex-3-enyl)-phenol

are solved. To this solution 500 mg of 10 % Pd/C are added. The mixture is hydrogenated at room temperature for 6 hours. The mixture was then filtered through Filter Cel and evaporation of the filtrate in vacuum, yielding *trans*-2-methoxy-4-(2-nitro-cyclohexyl)-phenol as a light yellow solid.

^{1}H-NMR ($CDCl_3$, 300 MHz): 1.40 – 2.40 (m, 8H, CH_2), 3.05 (td, 1H), 3.85 (s, 3H, OCH_3), 4.62 (td, 1H), 6.65 (d, 1H, ar), 6.69 (dd, 1H, ar), 6.83 (d, 1H, ar).

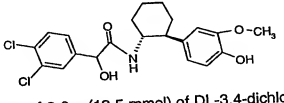
c) *trans*-4-(2-Amino-cyclohexyl)-2-methoxy-phenol



A solution of 8.5 g (33.8 mmol) of *trans*-2-methoxy-4-(2-nitro-cyclohexyl)-phenol is prepared in 300 ml methanol. To this are added simultaneously 7ml of hydrazine hydrate and 2.5 g of Raney–Nickel over 8 hours with vigorous stirring. Upon completion of the addition the reaction mixture is stirred for another 16 hour at room temperature. The mixture is then filtered and evaporation of the filtrate in vacuum gives *trans*-4-(2-amino-cyclohexyl)-2-methoxy-phenol as a light yellow solid.

^{1}H-NMR ($CDCl_3$, 300 MHz): 1.20 – 2.10 (m, 8H, CH2), 2.17 (td, 1H), 2.77 (td, 1H), 3.87 (s, 3H, OCH3), 6.72 (d, 1H, ar), 6.79 (dd, 1H, ar), 6.89 (d, 1H, ar).

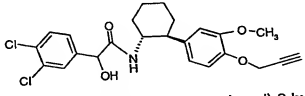
d) 2-(3,4-Dichlorophenyl)-2-hydroxy-N-[*trans*-2-(4-hydroxy-3-methoxy-phenyl)-cyclohexyl]-acetamide



To a stirred solution of 3.0 g (13.5 mmol) of DL-3,4-dichloromandelic acid, 3.0 g (13.5 mmol) of *trans*-4-(2-amino-cyclohexyl)-2-methoxy-phenol and 1.8 g (13.5 mmol) of N,N-diisopropylethylamine in 30 ml DMF is added 6.0 g (13.5 mmol) of benzotriazol-1-yloxytris(dimethylamino)phosphonium hexafluorophosphate in one portion. The reaction mixture is then stirred at ambient temperature for about 2 hours and thereafter poured into 150 ml of aqueous saturated sodium chloride solution. The two-phase mixture is extracted with two 150 ml portions of ethyl acetate. The organic extract is concentrated under

reduced pressure to a residue, which is subjected to column chromatography on silica gel, with 1:1 ethyl acetate / isohexane as the eluant yielding 2-(3,4-dichlorophenyl)-2-hydroxy-N-[*trans*-2-(4-hydroxy-3-methoxy-phenyl)-cyclohexyl]-acetamide.
^{1}H-NMR ($CDCl_3$, 300 MHz): 1.17 – 2.24 (m, 10H), 3.76 (s, 3H, OCH_3), 3.93 (m, 1H), 4.67 (s, 1H), 5.42 (d, 2H), 6.47 – 7.21 (m, 6H, ar).

e) 2-(3,4-Dichlorophenyl)-2-hydroxy-N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-acetamide



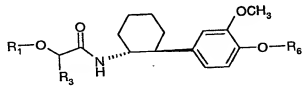
A solution of 0.6 g (1.4 mmol) of 2-(3,4-dichlorophenyl)-2-hydroxy-N-[*trans*-2-(4-hydroxy-3-methoxy-phenyl)-cyclohexyl]-acetamide and 0.4 g (1.9 mmol) of propynyl tosylate and 2.7 ml of 1M solution of sodium methoxide in 10 ml methanol is heated to reflux for 3 hours. The reaction mixture is cooled and poured into 30 ml of aqueous saturated sodium chloride solution and finally extracted with two 100 ml portions of ethyl acetate. The combined organic extract is concentrated under reduced pressure to a residue, which is subjected to column chromatography on silica gel, with 1:1 ethyl acetate / isohexane as the eluant to obtain 2-(3,4-dichlorophenyl)-2-hydroxy-N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-acetamide.
^{1}H-NMR ($CDCl_3$, 300 MHz): 1.20 – 2.21 (m, 8H), 2.23 (td, 1H), 2.51 (t, 1H, C≡CH), 3.75 (bs, 1H, OH), 3.79 (s, 3H, OCH_3), 4.01 (m, 1H), 4.70 (s, 1H), 4.76 (d, 2H, $CH_2C{\equiv}C$), 5.42 (d, 1H), 6.54 – 7.26 (m, 6H, ar).

f) To a stirred solution of 0.4 g (0.85 mmol) of 2-(3,4-dichlorophenyl)-2-hydroxy-N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-acetamide, 0.5 ml of 30 % aqueous sodium hydroxide solution and 5 mg of tetrabutylammonium bromide in 3 ml dichloromethane is added 0.18 g (0.85 mmol) of propynyl tosylate during 1 hour. Upon completion of the addition the reaction mixture is stirred for additional 16 hours at room temperature. The mixture is then extracted with dichloromethane. The organic extract is concentrated under reduced pressure to a residue, which was subjected to column chromatography on silica gel, with 1:2 ethyl acetate / isohexane as the eluant to obtain 2-(3,4-dichlorophenyl)-N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-2-prop-2-ynyloxy-acetamide.

^{1}H-NMR ($CDCl_3$, 300 MHz): 1.23 – 2.10 (m, 8H), 2.37 (td, 1H), 2.43 (t, 1H, C≡CH), 2.49 (t, 1H, C≡CH), 3.68 (d, 2H), 3.87 (s, 3H, OCH_3), 3.97 (m, 1H), 4.62 (s, 1H), 4.74 (d, 2H, CH_2C≡C), 6.32 (d, 1H, NH), 6.75 – 7.43 (m, 6H, ar).

According to the example A1.2 described above the compounds listed in table A2 are obtained.

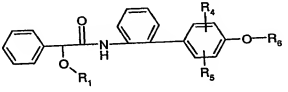
Table A2:



No.	R_1	R_3	R_6	physico-chemical data
A2.01	H	4-Br-Ph	CH_3	m.p. 181-182
A2.02	$-CH_2$-C≡CH	4-Cl-Ph	$-CH_2$-C≡CCH_2CH_3	m.p. 133-135
A2.03	H	4-Br-Ph	$-CH_2$-C≡CH	m.p. 158-159
A2.04	H	4-Cl-Ph	$-CH_2$-C≡CCH_2CH_3	m.p. 99-102
A2.05	$-CH_2$-C≡CH	4-Cl-Ph	$-CH_2$-C≡CH	m.p. 123-125
A2.06	$-CH_2$-C≡CH	4-Br-Ph	$-CH_2$-C≡CH	m.p. 140-142
A2.07	$-CH_2$-C≡CH	3,4-Cl_2-Ph	$-CH_2$-C≡CH	m.p. 124-126
A2.08	H	3,4-Cl_2-Ph	$-CH_2$-C≡CCH_2CH_3	Oil
A2.09	H	4-Cl-Ph	$-CH_2$-C≡CH	m.p. 144-146
A2.10	$-CH_2$-C≡CH	3,4-Cl_2-Ph	$-CH_2$-C≡CCH_2CH_3	m.p. 143-144
A2.11	H	3,4-Cl_2-Ph	$-CH_2$-C≡CH	m.p. 127-129
A2.12	H	4-Br-Ph	H	m.p. 188-191
A2.13	H	3,4-Cl_2-Ph	CH_3	m.p. 133-136
A2.14	H	4-Br-Ph	$-CH_2$-C≡CCH_2CH_3	Oil
A2.15	$-CH_2$-C≡CH	4-Br-Ph	$-CH_2$-C≡CCH_2CH_3	m.p. 137-139
A2.16	H	4-Cl-Ph	CH_3	m.p. 179-180
A2.17	H	3,4-Cl_2-Ph	H	m.p. 182-184

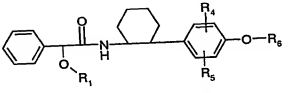
Analogously to the above Examples the following compounds of Tables 1 to 50 may be prepared. In the tables Ph means phenyl.

Table 1 : Compounds represented by the Formula I.01 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



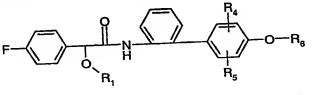
(I.01)

Table 2 : Compounds represented by the Formula I.02 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



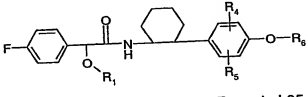
(I.02)

Table 3 : Compounds represented by the Formula I.03 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



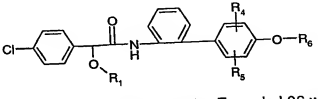
(I.03)

Table 4 : Compounds represented by the Formula I.04 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



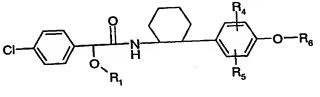
(I.04)

Table 5 : Compounds represented by the Formula I.05 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



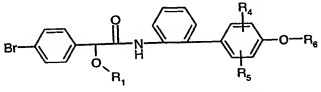
(I.05)

Table 6 : Compounds represented by the Formula I.06 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



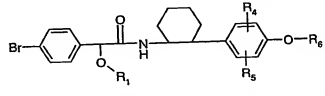
(I.06)

Table 7 : Compounds represented by the Formula I.07 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



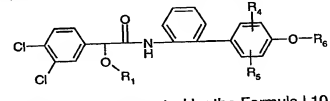
(I.07)

Table 8 : Compounds represented by the Formula I.08 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



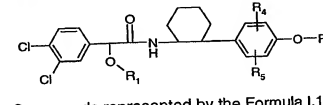
(I.08)

Table 9 : Compounds represented by the Formula I.09 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



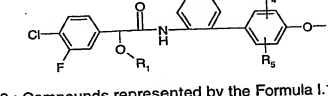
(I.09)

Table 10 : Compounds represented by the Formula I.10 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



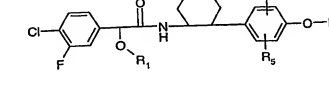
(I.10)

Table 11 : Compounds represented by the Formula I.11 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



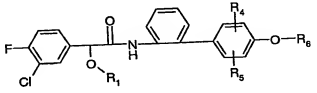
(I.11)

Table 12 : Compounds represented by the Formula I.12 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



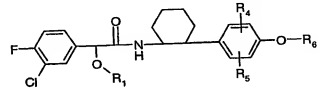
(I.12)

Table 13 : Compounds represented by the Formula I.13 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



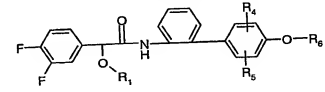
(I.13)

Table 14 : Compounds represented by the Formula I.14 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



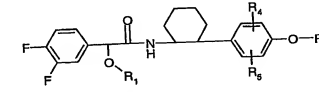
(I.14)

Table 15 : Compounds represented by the Formula I.15 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



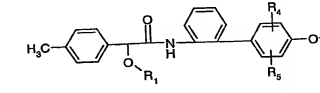
(I.15)

Table 16 : Compounds represented by the Formula I.16 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



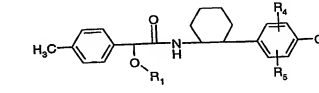
(I.16)

Table 17 : Compounds represented by the Formula I.17 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



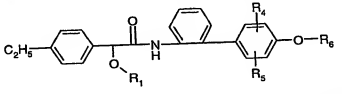
(I.17)

Table 18 : Compounds represented by the Formula I.18 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



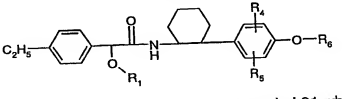
(I.18)

Table 19 : Compounds represented by the Formula I.19 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



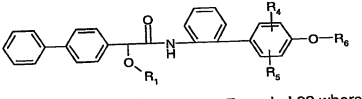
(I.19)

Table 20 : Compounds represented by the Formula I.20 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



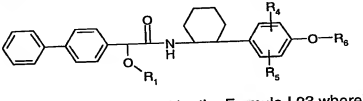
(I.20)

Table 21 : Compounds represented by the Formula I.21 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



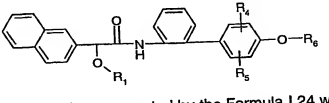
(I.21)

Table 22 : Compounds represented by the Formula I.22 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



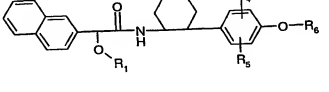
(I.22)

Table 23 : Compounds represented by the Formula I.23 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



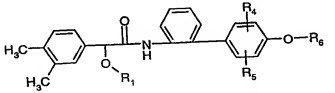
(I.23)

Table 24 : Compounds represented by the Formula I.24 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



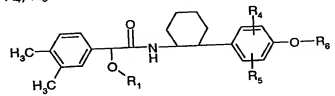
(I.24)

Table 25 : Compounds represented by the Formula I.25 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



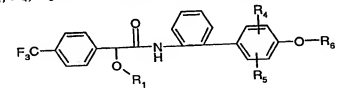
(I.25)

Table 26 : Compounds represented by the Formula I.26 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



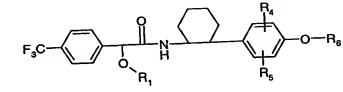
(I.26)

Table 27 : Compounds represented by the Formula I.27 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



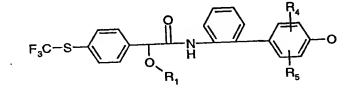
(I.27)

Table 28 : Compounds represented by the Formula I.28 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



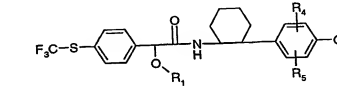
(I.28)

Table 29 : Compounds represented by the Formula I.29 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



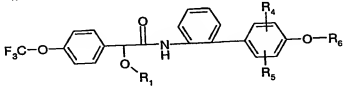
(I.29)

Table 30 : Compounds represented by the Formula I.30 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



(I.30)

Table 31 : Compounds represented by the Formula I.31 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



(I.31)

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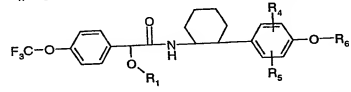
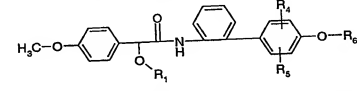
Table 32 : Compounds represented by the Formula I.32 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



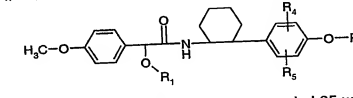
(I.32)

Table 33 : Compounds represented by the Formula I.33 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



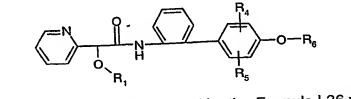
(I.33)

Table 34 : Compounds represented by the Formula I.34 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



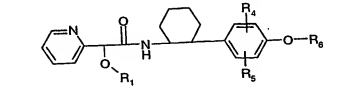
(I.34)

Table 35 : Compounds represented by the Formula I.35 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



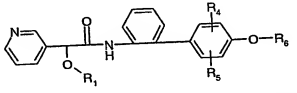
(I.35)

Table 36 : Compounds represented by the Formula I.36 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



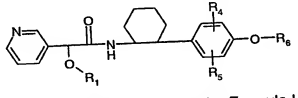
(I.36)

Table 37 : Compounds represented by the Formula I.37 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



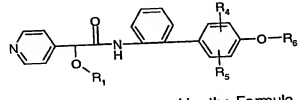
(I.37)

Table 38 : Compounds represented by the Formula I.38 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



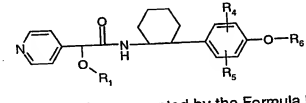
(I.38)

Table 39 : Compounds represented by the Formula I.39 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



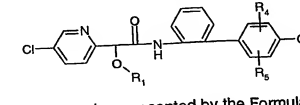
(I.39)

Table 40 : Compounds represented by the Formula I.40 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



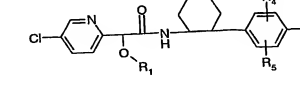
(I.40)

Table 41 : Compounds represented by the Formula I.41 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



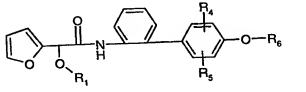
(I.41)

Table 42 : Compounds represented by the Formula I.42 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



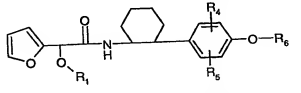
(I.42)

Table 43 : Compounds represented by the Formula I.43 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



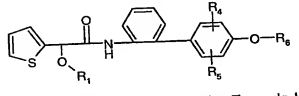
(I.43)

Table 44 : Compounds represented by the Formula I.44 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



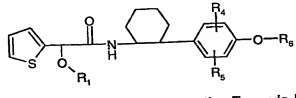
(I.44)

Table 45 : Compounds represented by the Formula I.45 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



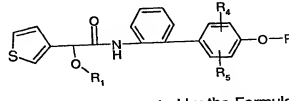
(I.45)

Table 46 : Compounds represented by the Formula I.46 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



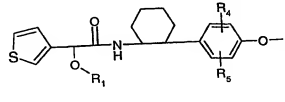
(I.46)

Table 47 : Compounds represented by the Formula I.47 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



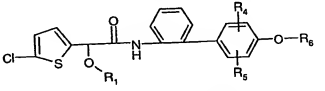
(I.47)

Table 48 : Compounds represented by the Formula I.48 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



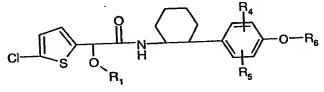
(I.48)

Table 49 : Compounds represented by the Formula I.49 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



(I.49)

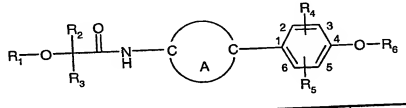
Table 50 : Compounds represented by the Formula I.50 wherein the combination of the groups R_1, R_4, R_5 and R_6 corresponds to each row in table A.



(I.50)

In Table A the designation Ph stands for phenyl.

Table A



No.	R_1	R_4	R_5	R_6
001	H-	H-	H-	-H
002	H-	H-	H-	$-CH_3$
003	H-	H-	H-	$-CH_2-CH_3$
004	H-	H-	H-	$-CH_2-CH_2-CH_3$
005	H-	H-	H-	$-CH_2-CH=CH_2$
006	H-	H-	H-	$-CH_2-CH=CH-CH_3$
007	H-	H-	H-	$-CH_2-(CH_3)C=CH_2$
008	H-	H-	H-	$-CH_2-CH=CHCl$
009	H-	H-	H-	$-CH_2-C\equiv CH$
010	H-	H-	H-	$-CH_2-C\equiv C-CH_3$
011	H-	H-	H-	$-CH_2-C\equiv C-CH_2-CH_3$
012	H-	H-	H-	$-CH_2-C\equiv C-(CH_2)_2-CH_3$

013	H-	H-	H-	-CH_2-C≡C-CH-$(CH_3)_2$
014	H-	H-	H-	-CH_2-C≡C-C_3H_5-cycl
015	H-	H-	H-	-CH_2-Ph
016	CH_3-	H-	H-	-H
017	CH_3-	H-	H-	-CH_3
018	CH_3-	H-	H-	-CH_2-CH_3
019	CH_3-	H-	H-	-CH_2-CH_2-CH_3
020	CH_3-	H-	H-	-CH_2-CH=CH_2
021	CH_3-	H-	H-	-CH_2-CH=CH-CH_3
022	CH_3-	H-	H-	-CH_2-(CH_3)C=CH_2
023	CH_3-	H-	H-	-CH_2-CH=CHCl
024	CH_3-	H-	H-	-CH_2-C≡CH
025	CH_3-	H-	H-	-CH_2-C≡C-CH_3
026	CH_3-	H-	H-	-CH_2-C≡C-CH_2-CH_3
027	CH_3-	H-	H-	-CH_2-C≡C-$(CH_2)_2$-CH_3
028	CH_3-	H-	H-	-CH_2-C≡C-CH-$(CH_3)_2$
029	CH_3-	H-	H-	-CH_2-C≡C-C_3H_5-cycl
030	CH_3-	H-	H-	-CH_2-Ph
031	CH_3-CH_2-	H-	H-	-H
032	CH_3-CH_2-	H-	H-	-CH_3
033	CH_3-CH_2-	H-	H-	-CH_2-CH_3
034	CH_3-CH_2-	H-	H-	-CH_2-CH_2-CH_3
035	CH_3-CH_2-	H-	H-	-CH_2-CH=CH_2
036	CH_3-CH_2-	H-	H-	-CH_2-CH=CH-CH_3
037	CH_3-CH_2-	H-	H-	-CH_2-(CH_3)C=CH_2
038	CH_3-CH_2-	H-	H-	-CH_2-CH=CHCl
039	CH_3-CH_2-	H-	H-	-CH_2-C≡CH
040	CH_3-CH_2-	H-	H-	-CH_2-C≡C-CH_3
041	CH_3-CH_2-	H-	H-	-CH_2-C≡C-CH_2-CH_3
042	CH_3-CH_2-	H-	H-	-CH_2-C≡C-$(CH_2)_2$-CH_3
043	CH_3-CH_2-	H-	H-	-CH_2-C≡C-CH-$(CH_3)_2$
044	CH_3-CH_2-	H-	H-	-CH_2-C≡C-C_3H_5-cycl

045	CH_3-CH_2-	H-	H-	-CH_2-Ph
046	HC≡CCH_2-	H-	H-	-H
047	HC≡CCH_2-	H-	H-	-CH_3
048	HC≡CCH_2-	H-	H-	-CH_2-CH_3
049	HC≡CCH_2-	H-	H-	-CH_2-CH_2-CH_3
050	HC≡CCH_2-	H-	H-	-CH_2-CH=CH_2
051	HC≡CCH_2-	H-	H-	-CH_2-CH=CH-CH_3
052	HC≡CCH_2-	H-	H-	-CH_2-(CH_3)C=CH_2
053	HC≡CCH_2-	H-	H-	-CH_2-CH=CHCl
054	HC≡CCH_2-	H-	H-	-CH_2-C≡CH
055	HC≡CCH_2-	H-	H-	-CH_2-C≡C-CH_3
056	HC≡CCH_2-	H-	H-	-CH_2-C≡C-CH_2-CH_3
057	HC≡CCH_2-	H-	H-	-CH_2-C≡C-(CH_2)$_2$-CH_3
058	HC≡CCH_2-	H-	H-	-CH_2-C≡C-CH-(CH_3)$_2$
059	HC≡CCH_2-	H-	H-	-CH_2-C≡C-C_3H_5-cycl
060	HC≡CCH_2-	H-	H-	-CH_2-Ph
061	H-	3-CH_3-O-	H-	-H
062	H-	3-CH_3-O-	H-	-CH_3
063	H-	3-CH_3-O-	H-	-CF_3
064	H-	3-CH_3-O-	H-	-CHF_2
065	H-	3-CH_3-O-	H-	-CH_2-CH_3
066	H-	3-CH_3-O-	H-	-CH_2-CH_2-CH_3
067	H-	3-CH_3-O-	H-	-CH_2-CH=CH_2
068	H-	3-CH_3-O-	H-	-CH_2-CH=CH-CH_3
069	H-	3-CH_3-O-	H-	-CH_2-(CH_3)C=CH_2
070	H-	3-CH_3-O-	H-	-CH_2-CH=CHCl
071	H-	3-CH_3-O-	H-	-CH_2-C≡CH
072	H-	3-CH_3-O-	H-	-CH(CH_3)-C≡CH
073	H-	3-CH_3-O-	H-	-CH_2-C≡C-CH_3
074	H-	3-CH_3-O-	H-	-CH_2-C≡C-CH_2-CH_3
075	H-	3-CH_3-O-	H-	-CH_2-C≡C-(CH_2)$_2$-CH_3
076	H-	3-CH_3-O-	H-	-CH_2-C≡C-CH-(CH_3)$_2$

077	H-	3-CH_3-O-	H-	-CH_2-C≡C-$(CH_2)_4$-CH_3
078	H-	3-CH_3-O-	H-	-CH_2-C≡C-C_3H_5-cycl
079	H-	3-CH_3-O-	H-	-CH_2-C≡C-C_6H_{11}-cycl
080	H-	3-CH_3-O-	H-	-CH_2-Ph
081	H-	3-CH_3-O-	H-	-CH_2-(4-Cl-Ph)
082	H-	3-CH_3-O-	H-	-CH_2-C≡C-Ph
083	H-	3-CH_3-O-	H-	-CH_2-C≡C-(4-Cl-Ph)
084	H-	3-CH_3-O-	H-	-CH_2-CH_2-O-Ph
085	H-	3-CH_3-O-	H-	-CH_2-CH_2-O-CH_3
086	CH_3-	3-CH_3-O-	H-	-H
087	CH_3-	3-CH_3-O-	H-	-CH_3
088	CH_3-	3-CH_3-O-	H-	-CF_3
089	CH_3-	3-CH_3-O-	H-	-CHF_2
090	CH_3-	3-CH_3-O-	H-	-CH_2-CH_3
091	CH_3-	3-CH_3-O-	H-	-CH_2-CH_2-CH_3
092	CH_3-	3-CH_3-O-	H-	-CH_2-CH=CH_2
093	CH_3-	3-CH_3-O-	H-	-CH_2-CH=CH-CH_3
094	CH_3-	3-CH_3-O-	H-	-CH_2-(CH_3)C=CH_2
095	CH_3-	3-CH_3-O-	H-	-CH_2-CH=CHCl
096	CH_3-	3-CH_3-O-	H-	-CH_2-C≡CH
097	CH_3-	3-CH_3-O-	H-	-CH(CH_3)-C≡CH
098	CH_3-	3-CH_3-O-	H-	-CH_2-C≡C-CH_3
099	CH_3-	3-CH_3-O-	H-	-CH_2-C≡C-CH_2-CH_3
100	CH_3-	3-CH_3-O-	H-	-CH_2-C≡C-$(CH_2)_2$-CH_3
101	CH_3-	3-CH_3-O-	H-	-CH_2-C≡C-CH-$(CH_3)_2$
102	CH_3-	3-CH_3-O-	H-	-CH_2-C≡C-$(CH_2)_4$-CH_3
103	CH_3-	3-CH_3-O-	H-	-CH_2-C≡C-C_3H_5-cycl
104	CH_3-	3-CH_3-O-	H-	-CH_2-C≡C-C_6H_{11}-cycl
105	CH_3-	3-CH_3-O-	H-	-CH_2-Ph
106	CH_3-	3-CH_3-O-	H-	-CH_2-(4-Cl-Ph)
107	CH_3-	3-CH_3-O-	H-	-CH_2-C≡C-Ph
108	CH_3-	3-CH_3-O-	H-	-CH_2-C≡C-(4-Cl-Ph)

109	CH_3-	3-CH_3-O-	H-	-CH_2-CH_2-O-Ph
110	CH_3-	3-CH_3-O-	H-	-CH_2-CH_2-O-CH_3
111	CH_3-CH_2-	3-CH_3-O-	H-	-H
112	CH_3-CH_2-	3-CH_3-O-	H-	-CH_3
113	CH_3-CH_2-	3-CH_3-O-	H-	-CF_3
114	CH_3-CH_2-	3-CH_3-O-	H-	-CHF_2
115	CH_3-CH_2-	3-CH_3-O-	H-	-CH_2-CH_3
116	CH_3-CH_2-	3-CH_3-O-	H-	-CH_2-CH_2-CH_3
117	CH_3-CH_2-	3-CH_3-O-	H-	-CH_2-CH=CH_2
118	CH_3-CH_2-	3-CH_3-O-	H-	-CH_2-CH=CH-CH_3
119	CH_3-CH_2-	3-CH_3-O-	H-	-CH_2-(CH_3)C=CH_2
120	CH_3-CH_2-	3-CH_3-O-	H-	-CH_2-CH=CHCl
121	CH_3-CH_2-	3-CH_3-O-	H-	-CH_2-C≡CH
122	CH_3-CH_2-	3-CH_3-O-	H-	-CH(CH_3)-C≡CH
123	CH_3-CH_2-	3-CH_3-O-	H-	-CH_2-C≡C-CH_3
124	CH_3-CH_2-	3-CH_3-O-	H-	-CH_2-C≡C-CH_2-CH_3
125	CH_3-CH_2-	3-CH_3-O-	H-	-CH_2-C≡C-$(CH_2)_2$-CH_3
126	CH_3-CH_2-	3-CH_3-O-	H-	-CH_2-C≡C-CH-$(CH_3)_2$
127	CH_3-CH_2-	3-CH_3-O-	H-	-CH_2-C≡C-$(CH_2)_4$-CH_3
128	CH_3-CH_2-	3-CH_3-O-	H-	-CH_2-C≡C-C_3H_5-cycl
129	CH_3-CH_2-	3-CH_3-O-	H-	-CH_2-C≡C-C_6H_{11}-cycl
130	CH_3-CH_2-	3-CH_3-O-	H-	-CH_2-Ph
131	CH_3-CH_2-	3-CH_3-O-	H-	-CH_2-(4-Cl-Ph)
132	CH_3-CH_2-	3-CH_3-O-	H-	-CH_2-C≡C-Ph
133	CH_3-CH_2-	3-CH_3-O-	H-	-CH_2-C≡C-(4-Cl-Ph)
134	CH_3-CH_2-	3-CH_3-O-	H-	-CH_2-CH_2-O-Ph
135	CH_3-CH_2-	3-CH_3-O-	H-	-CH_2-CH_2-O-CH_3
136	HC≡CCH_2-	3-CH_3-O-	H-	-H
137	HC≡CCH_2-	3-CH_3-O-	H-	-CH_3
138	HC≡CCH_2-	3-CH_3-O-	H-	-CF_3
139	HC≡CCH_2-	3-CH_3-O-	H-	-CHF_2
140	HC≡CCH_2-	3-CH_3-O-	H-	-CH_2-CH_3

141	$HC\equiv CCH_2$-	3-CH_3-O-	H-	-CH_2-CH_2-CH_3
142	$HC\equiv CCH_2$-	3-CH_3-O-	H-	-CH_2-CH=CH_2
143	$HC\equiv CCH_2$-	3-CH_3-O-	H-	-CH_2-CH=CH-CH_3
144	$HC\equiv CCH_2$-	3-CH_3-O-	H-	-CH_2-(CH_3)C=CH_2
145	$HC\equiv CCH_2$-	3-CH_3-O-	H-	-CH_2-CH=CHCl
146	$HC\equiv CCH_2$-	3-CH_3-O-	H-	-CH_2-C$\equiv$CH
147	$HC\equiv CCH_2$-	3-CH_3-O-	H-	-CH(CH_3)-C$\equiv$CH
148	$HC\equiv CCH_2$-	3-CH_3-O-	H-	-CH_2-C$\equiv$C-CH_3
149	$HC\equiv CCH_2$-	3-CH_3-O-	H-	-CH_2-C$\equiv$C-CH_2-CH_3
150	$HC\equiv CCH_2$-	3-CH_3-O-	H-	-CH_2-C$\equiv$C-(CH_2)$_2$-CH_3
151	$HC\equiv CCH_2$-	3-CH_3-O-	H-	-CH_2-C$\equiv$C-CH-(CH_3)$_2$
152	$HC\equiv CCH_2$-	3-CH_3-O-	H-	-CH_2-C$\equiv$C-(CH_2)$_4$-CH_3
153	$HC\equiv CCH_2$-	3-CH_3-O-	H-	-CH_2-C$\equiv$C-C_3H_5-cycl
154	$HC\equiv CCH_2$-	3-CH_3-O-	H-	-CH_2-C$\equiv$C-C_6H_{11}-cycl
155	$HC\equiv CCH_2$-	3-CH_3-O-	H-	-CH_2-Ph
156	$HC\equiv CCH_2$-	3-CH_3-O-	H-	-CH_2-(4-Cl-Ph)
157	$HC\equiv CCH_2$-	3-CH_3-O-	H-	-CH_2-C$\equiv$C-Ph
158	$HC\equiv CCH_2$-	3-CH_3-O-	H-	-CH_2-C$\equiv$C-(4-Cl-Ph)
159	$HC\equiv CCH_2$-	3-CH_3-O-	H-	-CH_2-CH_2-O-Ph
160	$HC\equiv CCH_2$-	3-CH_3-O-	H-	-CH_2-CH_2-O-CH_3
161	$H_3CC\equiv CCH_2$-	3-CH_3-O-	H-	-H
162	$H_3CC\equiv CCH_2$-	3-CH_3-O-	H-	-CH_3
163	$H_3CC\equiv CCH_2$-	3-CH_3-O-	H-	-CH_2-CH_3
164	$H_3CC\equiv CCH_2$-	3-CH_3-O-	H-	-CH_2-C$\equiv$CH
165	$H_3CC\equiv CCH_2$-	3-CH_3-O-	H-	-CH_2-C$\equiv$C-CH_2-CH_3
166	H_2C=CHCH_2-	3-CH_3-O-	H-	-H
167	H_2C=CHCH_2-	3-CH_3-O-	H-	-CH_3
168	H_2C=CHCH_2-	3-CH_3-O-	H-	-CH_2-CH_3
169	H_2C=CHCH_2-	3-CH_3-O-	H-	-CH_2-C$\equiv$CH
170	H_2C=CHCH_2-	3-CH_3-O-	H-	-CH_2-C$\equiv$C-CH_2-CH_3
171	CH_2F-	3-CH_3-O-	H-	-H
172	CH_2F-	3-CH_3-O-	H-	-CH_3

173	CH_2F-	3-CH_3-O-	H-	-CH_2-CH_3
174	CH_2F-	3-CH_3-O-	H-	-CH_2-C≡CH
175	CH_2F-	3-CH_3-O-	H-	-CH_2-C≡C-CH_2-CH_3
176	CHF_2-	3-CH_3-O-	H-	-H
177	CHF_2-	3-CH_3-O-	H-	-CH_3
178	CHF_2-	3-CH_3-O-	H-	-CH_2-CH_3
179	CHF_2-	3-CH_3-O-	H-	-CH_2-C≡CH
180	CHF_2-	3-CH_3-O-	H-	-CH_2-C≡C-CH_2-CH_3
181	CF_3-	3-CH_3-O-	H-	-H
182	CF_3-	3-CH_3-O-	H-	-CH_3
183	CF_3-	3-CH_3-O-	H-	-CH_2-CH_3
184	CF_3-	3-CH_3-O-	H-	-CH_2-C≡CH
185	CF_3-	3-CH_3-O-	H-	-CH_2-C≡C-CH_2-CH_3
186	CF_3-CH_2-	3-CH_3-O-	H-	-H
187	CF_3-CH_2-	3-CH_3-O-	H-	-CH_3
188	CF_3-CH_2-	3-CH_3-O-	H-	-CH_2-CH_3
189	CF_3-CH_2-	3-CH_3-O-	H-	-CH_2-C≡CH
190	CF_3-CH_2-	3-CH_3-O-	H-	-CH_2-C≡C-CH_2-CH_3
191	$CH_3CH_2CH_2$-	3-CH_3-O-	H-	-H
192	$CH_3CH_2CH_2$-	3-CH_3-O-	H-	-CH_3
193	$CH_3CH_2CH_2$-	3-CH_3-O-	H-	-CH_2-CH_3
194	$CH_3CH_2CH_2$-	3-CH_3-O-	H-	-CH_2-C≡CH
195	$CH_3CH_2CH_2$-	3-CH_3-O-	H-	-CH_2-C≡C-CH_2-CH_3
196	$(CH_3)_2CH$-	3-CH_3-O-	H-	-H
197	$(CH_3)_2CH$-	3-CH_3-O-	H-	-CH_3
198	$(CH_3)_2CH$-	3-CH_3-O-	H-	-CH_2-CH_3
199	$(CH_3)_2CH$-	3-CH_3-O-	H-	-CH_2-C≡CH
200	$(CH_3)_2CH$-	3-CH_3-O-	H-	-CH_2-C≡C-CH_2-CH_3
201	H-	3-CH_3-CH_2-O-	H-	-H
202	H-	3-CH_3-CH_2-O-	H-	-CH_3
203	H-	3-CH_3-CH_2-O-	H-	-CH_2-CH_3
204	H-	3-CH_3-CH_2-O-	H-	-CH_2-C≡CH

205	H-	3-CH_3-CH_2-O-	H-	-CH_2-C≡C-CH_2-CH_3
206	CH_3-	3-CH_3-CH_2-O-	H-	-H
207	CH_3-	3-CH_3-CH_2-O-	H-	-CH_3
208	CH_3-	3-CH_3-CH_2-O-	H-	-CH_2-CH_3
209	CH_3-	3-CH_3-CH_2-O-	H-	-CH_2-C≡CH
210	CH_3-	3-CH_3-CH_2-O-	H-	-CH_2-C≡C-CH_2-CH_3
211	CH_3CH_2-	3-CH_3-CH_2-O-	H-	-H
212	CH_3CH_2-	3-CH_3-CH_2-O-	H-	-CH_3
213	CH_3CH_2-	3-CH_3-CH_2-O-	H-	-CH_2-CH_3
214	CH_3CH_2-	3-CH_3-CH_2-O-	H-	-CH_2-C≡CH
215	CH_3CH_2-	3-CH_3-CH_2-O-	H-	-CH_2-C≡C-CH_2-CH_3
216	HC≡CCH_2-	3-CH_3-CH_2-O-	H-	-H
217	HC≡CCH_2-	3-CH_3-CH_2-O-	H-	-CH_3
218	HC≡CCH_2-	3-CH_3-CH_2-O-	H-	-CH_2-CH_3
219	HC≡CCH_2-	3-CH_3-CH_2-O-	H-	-CH_2-C≡CH
220	HC≡CCH_2-	3-CH_3-CH_2-O-	H-	-CH_2-C≡C-CH_2-CH_3
221	H-	3-CH_3-	H-	-H
222	H-	3-CH_3-	H-	-CH_3
223	H-	3-CH_3-	H-	-CH_2-CH_3
224	H-	3-CH_3-	H-	-CH_2-C≡CH
225	H-	3-CH_3-	H-	-CH_2-C≡C-CH_2-CH_3
226	CH_3-	3-CH_3-	H-	-H
227	CH_3-	3-CH_3-	H-	-CH_3
228	CH_3-	3-CH_3-	H-	-CH_2-CH_3
229	CH_3-	3-CH_3-	H-	-CH_2-C≡CH
230	CH_3-	3-CH_3-	H-	-CH_2-C≡C-CH_2-CH_3
231	CH_3CH_2-	3-CH_3-	H-	-H
232	CH_3CH_2-	3-CH_3-	H-	-CH_3
233	CH_3CH_2-	3-CH_3-	H-	-CH_2-CH_3
234	CH_3CH_2-	3-CH_3-	H-	-CH_2-C≡CH
235	CH_3CH_2-	3-CH_3-	H-	-CH_2-C≡C-CH_2-CH_3
236	HC≡CCH_2-	3-CH_3-	H-	-H

237	$HC\equiv CCH_2$-	3-CH_3-	H-	-CH_3
238	$HC\equiv CCH_2$-	3-CH_3-	H-	-CH_2-CH_3
239	$HC\equiv CCH_2$-	3-CH_3-	H-	-CH_2-$C\equiv CH$
240	$HC\equiv CCH_2$-	3-CH_3-	H-	-CH_2-$C\equiv C$-CH_2-CH_3
241	H-	3-Cl-	H-	-H
242	H-	3-Cl-	H-	-CH_3
243	H-	3-Cl-	H-	-CH_2-CH_3
244	H-	3-Cl-	H-	-CH_2-$C\equiv CH$
245	H-	3-Cl-	H-	-CH_2-$C\equiv C$-CH_2-CH_3
246	CH_3-	3-Cl-	H-	-H
247	CH_3-	3-Cl-	H-	-CH_3
248	CH_3-	3-Cl-	H-	-CH_2-CH_3
249	CH_3-	3-Cl-	H-	-CH_2-$C\equiv CH$
250	CH_3-	3-Cl-	H-	-CH_2-$C\equiv C$-CH_2-CH_3
251	CH_3CH_2-	3-Cl-	H-	-H
252	CH_3CH_2-	3-Cl-	H-	-CH_3
253	CH_3CH_2-	3-Cl-	H-	-CH_2-CH_3
254	CH_3CH_2-	3-Cl-	H-	-CH_2-$C\equiv CH$
255	CH_3CH_2-	3-Cl-	H-	-CH_2-$C\equiv C$-CH_2-CH_3
256	$HC\equiv CCH_2$-	3-Cl-	H-	-H
257	$HC\equiv CCH_2$-	3-Cl-	H-	-CH_3
258	$HC\equiv CCH_2$-	3-Cl-	H-	-CH_2-CH_3
259	$HC\equiv CCH_2$-	3-Cl-	H-	-CH_2-$C\equiv CH$
260	$HC\equiv CCH_2$-	3-Cl-	H-	-CH_2-$C\equiv C$-CH_2-CH_3
261	H-	3-Br-	H-	-H
262	H-	3-Br-	H-	-CH_3
263	H-	3-Br-	H-	-CH_2-CH_3
264	H-	3-Br-	H-	-CH_2-$C\equiv CH$
265	H-	3-Br-	H-	-CH_2-$C\equiv C$-CH_2-CH_3
266	CH_3-	3-Br-	H-	-H
267	CH_3-	3-Br-	H-	-CH_3
268	CH_3-	3-Br-	H-	-CH_2-CH_3

269	CH_3-	3-Br-	H-	-CH_2-C≡CH
270	CH_3-	3-Br-	H-	-CH_2-C≡C-CH_2-CH_3
271	CH_3CH_2-	3-Br-	H-	-H
272	CH_3CH_2-	3-Br-	H-	-CH_3
273	CH_3CH_2-	3-Br-	H-	-CH_2-CH_3
274	CH_3CH_2-	3-Br-	H-	-CH_2-C≡CH
275	CH_3CH_2-	3-Br-	H-	-CH_2-C≡C-CH_2-CH_3
276	HC≡CCH_2-	3-Br-	H-	-H
277	HC≡CCH_2-	3-Br-	H-	-CH_3
278	HC≡CCH_2-	3-Br-	H-	-CH_2-CH_3
279	HC≡CCH_2-	3-Br-	H-	-CH_2-C≡CH
280	HC≡CCH_2-	3-Br-	H-	-CH_2-C≡C-CH_2-CH_3
281	H-	3-CH_3-O-	5-CH_3-O-	-H
282	H-	3-CH_3-O-	5-CH_3-O-	-CH_3
283	H-	3-CH_3-O-	5-CH_3-O-	-CH_2-CH_3
284	H-	3-CH_3-O-	5-CH_3-O-	-CH_2-C≡CH
285	H-	3-CH_3-O-	5-CH_3-O-	-CH_2-C≡C-CH_2-CH_3
286	CH_3-	3-CH_3-O-	5-CH_3-O-	-H
287	CH_3-	3-CH_3-O-	5-CH_3-O-	-CH_3
288	CH_3-	3-CH_3-O-	5-CH_3-O-	-CH_2-CH_3
289	CH_3-	3-CH_3-O-	5-CH_3-O-	-CH_2-C≡CH
290	CH_3-	3-CH_3-O-	5-CH_3-O-	-CH_2-C≡C-CH_2-CH_3
291	CH_3CH_2-	3-CH_3-O-	5-CH_3-O-	-H
292	CH_3CH_2-	3-CH_3-O-	5-CH_3-O-	-CH_3
293	CH_3CH_2-	3-CH_3-O-	5-CH_3-O-	-CH_2-CH_3
294	CH_3CH_2-	3-CH_3-O-	5-CH_3-O-	-CH_2-C≡CH
295	CH_3CH_2-	3-CH_3-O-	5-CH_3-O-	-CH_2-C≡C-CH_2-CH_3
296	HC≡CCH_2-	3-CH_3-O-	5-CH_3-O-	-H
297	HC≡CCH_2-	3-CH_3-O-	5-CH_3-O-	-CH_3
298	HC≡CCH_2-	3-CH_3-O-	5-CH_3-O-	-CH_2-CH_3
299	HC≡CCH_2-	3-CH_3-O-	5-CH_3-O-	-CH_2-C≡CH
300	HC≡CCH_2-	3-CH_3-O-	5-CH_3-O-	-CH_2-C≡C-CH_2-CH_3

Formulations may be prepared analogously to those described in, for example, WO 95/30651.

Biological Examples

D-1: Action against Plasmopara viticola (downy mildew) on vines

5 week old grape seedlings cv. Gutedel are treated with the formulated test compound in a spray chamber. One day after application grape plants are inoculated by spraying a sporangia suspension (4 x 10^4 sporangia/ml) on the lower leaf side of the test plants. After an incubation period of 6 days at +21°C and 95% r. h. in a greenhouse the disease incidence is assessed.

Compounds of Tables 1 to 44 exhibit a good fungicidal action against Plasmopara viticola on vines. Compounds 1.137, 5.137, 5.149, 6.071, 6.146, 7.137, 8.074, 8.146, 9.137, 10.062 and 10.146 at 200 ppm inhibit fungal infestation in this test to at least 80%, while under the same conditions untreated control plants are infected by the phytopathogenic fungi to over 80%.

D-2: Action against Phytophthora (late blight) on tomato plants

3 week old tomato plants cv. Roter Gnom are treated with the formulated test compound in a spray chamber. Two day after application the plants are inoculated by spraying a sporangia suspension (2 x 10^4 sporangia/ml) on the test plants. After an incubation period of 4 days at +18°C and 95% r. h. in a growth chamber the disease incidence is assessed.

Compounds of Tables 1 to 44 exhibit a long-lasting effect against fungus infestation.

Compounds 1.137, 5.137, 5.140, 5.149, 6.071, 6.146, 7.137, 8.062, 8.074, 8.146, 9.137, 10.062 and 10.146 at 200 ppm inhibit fungal infestation in this test to at least 80%, while under the same conditions untreated control plants are infected by the phytopathogenic fungi to over 80%.

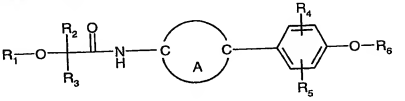
D-3 : Action against Phytophthora (late blight) on potato plants

5 week old potato plants cv. Bintje are treated with the formulated test compound in a spray chamber. Two day after application the plants are inoculated by spraying a sporangia suspension (14 x 10^4 sporangia/ml) on the test plants. After an incubation period of 4 days at +18°C and 95% r. h. in a growth chamber the disease incidence is assessed.

Fungal infestation is effectively controlled with compounds of Tables 1 to 44. Compounds 1.137, 5.149, 6.146, 8.074, 8.146 and 10.062 at 200 ppm inhibit fungal infestation in this test to at least 80%, while under the same conditions untreated control plants are infected by the phytopathogenic fungi to over 80%.

What is claimed is:

1. N-Bisaryl- and N-aryl- cycloalkylidenyl-α-hydroxy- and α-alkoxy acetic acid amides of the general formula I



(I)

including the optical isomers thereof and mixtures of such isomers, wherein
R_1 is hydrogen, C_1-C_{12}alkyl; C_2-C_{12}alkenyl; C_2-C_{12}alkynyl; C_1-C_{12}haloalkyl;
R_2 is hydrogen; optionally substituted alkyl; optionally substituted alkenyl or optionally substituted alkynyl;
R_3 is optionally substituted aryl or optionally substituted heteroaryl;
A is optionally substituted saturated or unsaturated C_3-C_8-cycloalkylidene, optionally substituted phenylidene or optionally substituted saturated or unsaturated heterocyclylidene bridge,
R_4 and R_5 are each independently hydrogen or an organic radical, and
R_6 is hydrogen; tri-C_1-C_4alkyl-silyl; di-C_1-C_4alkyl-phenylsilyl; C_1-C_4alkyl-diphenylsilyl; triphenylsilyl; optionally substituted alkyl; optionally substituted alkenyl or optionally substituted alkynyl.

2. A compound according to claim 1 wherein R_1 is hydrogen; C_1-C_{12}alkyl; C_2-C_{12}alkenyl; C_2-C_{12}alkynyl or C_1-C_{12}haloalkyl; and R_1 is hydrogen; C_1-C_{12}alkyl, C_2-C_{12}alkenyl; or C_2-C_{12}alkynyl; and R_2 is hydrogen; C_1-C_4alkyl; C_1-C_4haloalkyl; C_2-C_5alkenyl or C_2-C_5alkynyl; and R_3 is aryl or heteroaryl, each optionally substituted with substituents selected from the group comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkyl-alkyl, phenyl and phenylalkyl, where all these groups may be substituted with one or more halogen atoms; alkoxy; alkenyloxy; alkynyloxy; alkoxy-alkyl; haloalkyl; alkylthio; haloalkylthio; alkylsulfonyl; formyl; alkanoyl; hydroxy; cyano; nitro; amino; alkylamino; dialkylamino; carboxyl; alkoxycarbonyl; alkenyloxycarbonyl and alkynyloxycarbonyl; and A is optionally substituted saturated or unsaturated carbocycle or heterocycle linked to the remainder of the molecule by vicinal ring member carbon atoms; and R_4 is hydrogen; C_1-C_8alkyl; C_2-C_8alkenyl; C_2-C_8alkynyl; C_3-C_8cycloalkyl; C_3-C_8cycloalkyl-C_1-C_4alkyl; C_1-C_8alkylthio; C_1-C_8alkylsulfonyl; C_1-C_8alkoxy;

C_3-C_8alkenyloxy; C_3-C_8alkynyloxy; C_3-C_8cycloalkoxy; C_1-C_8alkoxy-C_1-C_4alkyl; C_1-C_8alkoxycarbonyl; C_3-C_8alkenyloxycarbonyl; C_3-C_8alkynyloxycarbonyl; C_1-C_8alkanoyl; C_1-C_8dialkylamino or C_1-C_8alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated; or is carboxyl; formyl; halogen; nitro; cyano; hydroxy or amino; and R_5 is hydrogen; C_1-C_8alkyl; C_2-C_8alkenyl; C_2-C_8alkynyl; C_3-C_8cycloalkyl; C_3-C_8cycloalkyl-C_1-C_4alkyl; C_1-C_8alkylthio; C_1-C_8alkylsulfonyl; C_1-C_8alkoxy; C_3-C_8alkenyloxy; C_3-C_8alkynyloxy; C_3-C_8cycloalkoxy; C_1-C_8alkoxy-C_1-C_4alkyl; C_1-C_8alkoxycarbonyl; C_3-C_8alkenyloxycarbonyl; C_3-C_8alkynyloxycarbonyl; C_1-C_8alkanoyl; C_1-C_8dialkylamino or C_1-C_8alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated; or is carboxyl; formyl; halogen; nitro; cyano; hydroxy or amino; and R_8 is hydrogen; C_1-C_{10}alkyl; C_3-C_{10}alkenyl; C_3-C_{10}alkynyl; C_1-C_{10}haloalkyl; C_3-C_{10}haloalkenyl; C_3-C_{10}haloalkynyl; benzyl; benzyl substituted with C_1-C_8alkyl, C_2-C_8alkenyl, C_2-C_8alkynyl, C_3-C_8cycloalkyl, C_3-$_8$cycloalkyl-C_1-C_4alkyl, C_1-C_8alkylthio, C_1-C_8alkylsulfonyl, C_1-C_8alkoxy, C_3-C_8alkenyloxy, C_3-C_8alkynyloxy, C_3-C_8cycloalkoxy, C_1-C_8alkoxy-C_1-C_4alkyl, C_1-C_8alkenyloxy-C_1-C_4alkyl, C_1-C_8alkynyloxy-C_1-C_4alkyl, C_1-C_8alkoxycarbonyl, C_3-C_8alkenyloxycarbonyl, C_3-C_8alkynyloxycarbonyl, C_1-C_8alkanoyl, C_1-C_8dialkylamino, C_1-C_8alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated, carboxyl; formyl; halogen; nitro; cyano; hydroxy; or amino; or is a group $-CR_7R_8-C\equiv C-B$ wherein R_7 and R_8 are independently hydrogen or C_1-C_4alkyl; and B is either C_1-C_8alkyl or C_3-C_8cycloalkyl; phenyl or phenyl substituted by C_1-C_8alkyl, C_2-C_8alkenyl, C_2-C_8alkynyl, C_3-C_8cycloalkyl, C_3-C_8cycloalkyl-C_1-C_4alkyl, C_1-C_8alkylthio, C_1-C_8alkylsulfonyl, C_1-C_8alkoxy, C_3-C_8alkenyloxy, C_3-C_8alkynyloxy, C_3-C_8cycloalkoxy, C_1-C_8alkoxy-C_1-C_4alkyl, C_1-C_8alkoxycarbonyl, C_3-C_8alkenyloxycarbonyl, C_3-C_8alkynyloxycarbonyl, C_1-C_8alkanoyl, C_1-C_8dialkylamino, C_1-C_8alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated; carboxyl; formyl; halogen; nitro; cyano; hydroxy or amino; or is a group $-CR_7R_8-CR_9R_{10}-X-B$ wherein R_7, R_8, R_9 and R_{10} are independently hydrogen or C_1-C_4alkyl; X is -O-, -S- or $-NR_{13}-$ where R_{13} is hydrogen or C_1-C_4alkyl; and B is either C_3-C_8cycloalkyl; phenyl or phenyl substituted by C_1-C_8alkyl, C_2-C_8alkenyl, C_2-C_8alkynyl, C_3-C_8cycloalkyl, C_3-C_8cycloalkyl-C_1-C_4alkyl, C_1-C_8alkylthio, C_1-C_8alkylsulfonyl, C_1-C_8alkoxy, C_3-C_8alkenyloxy, C_3-C_8alkynyloxy, C_3-C_8cycloalkoxy, C_1-C_8alkoxy-C_1-C_4alkyl, C_1-C_8alkoxycarbonyl, C_3-C_8alkenyloxycarbonyl, C_3-C_8alkynyloxycarbonyl, C_1-C_8alkanoyl, C_1-C_8dialkylamino, C_1-C_8alkylamino, wherein in turnthe alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated; carboxyl; formyl; halogen; nitro; cyano; hydroxy or amino.

3. A compound according to claims 1or 2 wherein R_1 is hydrogen; C_1-C_{12}alkyl; C_2-C_{12}alkenyl; C_2-C_{12}alkynyl or C_1-C_{12}haloalkyl; and R_2 is hydrogen and R_3 is phenyl; naphthyl or heteroaryl formed by 1 or 2 five- or six-membered rings containing 1 to 4 identical or different heteroatoms selected from oxygen, nitrogen or sulfur, wherein each aromatic rings is optionally mono- or poly-substituted with C_1-C_8alkyl, C_2-C_8alkenyl, C_2-C_8alkynyl, C_3-C_8cycloalkyl, C_1-C_8alkoxy, C_3-C_8alkenyloxy, C_3-C_8alkynyloxy, C_3-C_8cycloalkyloxy, C_1-C_8alkylthio, C_1-C_8alkylsulfonyl, C_1-C_8alkanoyl, C_1-C_8alkoxycarbonyl, C_3-C_8alkenyloxycarbonyl, C_3-C_8alkynyloxycarbonyl, C_1-C_8dialkylamino, C_1-C_8alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated, or with halogen, nitro, cyano, hydroxy or amino; and A is optionally substituted saturated or unsaturated carbocycle or heterocycle linked to the remainder of the molecule by vicinal ring member carbon atoms; and R_4 is hydrogen; C_1-C_8alkyl; C_2-C_8alkenyl; C_2-C_8alkynyl; C_3-C_8cycloalkyl; C_3-C_8cycloalkyl-C_1-C_4alkyl; C_1-C_8alkylthio; C_1-C_8alkylsulfonyl; C_1-C_8alkoxy; C_3-C_8alkenyloxy; C_3-C_8alkynyloxy; C_3-C_8cycloalkoxy; C_1-C_8alkoxy-C_1-C_4alkyl; C_1-C_8alkoxycarbonyl; C_3-C_8alkenyloxycarbonyl; C_3-C_8alkynyloxycarbonyl; C_1-C_8alkanoyl; C_1-C_8dialkylamino or C_1-C_8alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated; or is carboxyl; formyl; halogen; nitro; cyano; hydroxy or amino; and R_5 is hydrogen; C_1-C_8alkyl; C_2-C_8alkenyl; C_2-C_8alkynyl; C_3-C_8cycloalkyl; C_3-C_8cycloalkyl-C_1-C_4alkyl; C_1-C_8alkylthio; C_1-C_8alkylsulfonyl; C_1-C_8alkoxy; C_3-C_8alkenyloxy; C_3-C_8alkynyloxy; C_3-C_8cycloalkoxy; C_1-C_8alkoxy-C_1-C_4alkyl; C_1-C_8alkoxycarbonyl; C_3-C_8alkenyloxycarbonyl; C_3-C_8alkynyloxycarbonyl; C_1-C_8alkanoyl; C_1-C_8dialkylamino or C_1-C_8alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated; or is carboxyl; formyl; halogen; nitro; cyano; hydroxy or amino; and R_6 is hydrogen; C_1-C_{10}alkyl; C_3-C_{10}alkenyl; C_3-C_{10}alkynyl; C_1-C_{10}haloalkyl; C_3-C_{10}haloalkenyl; C_3-C_{10}haloalkynyl; benzyl; benzyl substituted with C_1-C_8alkyl, C_2-C_8alkenyl, C_2-C_8alkynyl, C_3-C_8cycloalkyl, C_3-C_8cycloalkyl-C_1-C_4alkyl, C_1-C_8alkylthio, C_1-C_8alkylsulfonyl, C_1-C_8alkoxy, C_3-C_8alkenyloxy, C_3-C_8alkynyloxy, C_3-C_8cycloalkoxy, C_1-C_8alkoxy-C_1-C_4alkyl, C_1-C_8alkenyloxy-C_1-C_4alkyl, C_1-C_8alkynyloxy-C_1-C_4alkyl, C_1-C_8alkoxycarbonyl, C_3-C_8alkenyloxycarbonyl, C_3-C_8alkynyloxycarbonyl, C_1-C_8alkanoyl, C_1-C_8dialkylamino, C_1-C_8alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated, carboxyl; formyl; halogen; nitro; cyano; hydroxy; or amino; a group $-CR_7R_8-C\equiv C-B$ wherein R_7 and R_8 are independently hydrogen or C_1-C_4alkyl; and B is either C_1-C_8alkyl or C_3-C_8cycloalkyl; phenyl or phenyl substituted by C_1-C_8alkyl, C_2-C_8alkenyl, C_2-C_8alkynyl, C_3-C_8cycloalkyl, C_3-C_8cycloalkyl-

C_1-C_4alkyl, C_1-C_8alkylthio, C_1-C_8alkylsulfonyl, C_1-C_8alkoxy, C_3-C_8alkenyloxy, C_3-C_8alkynyloxy, C_3-C_8cycloalkoxy, C_1-C_8alkoxy-C_1-C_4alkyl, C_1-C_8alkoxycarbonyl, C_3-C_8alkenyloxycarbonyl, C_3-C_8alkynyloxycarbonyl, C_1-C_8alkanoyl, C_1-C_8dialkylamino, C_1-C_8alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated; carboxyl; formyl; halogen; nitro; cyano; hydroxy or amino; or a group $-CR_7R_8-CR_9R_{10}-X-B$ wherein R_7, R_8, R_9 and R_{10} are independently hydrogen or C_1-C_4alkyl; X is -O-, -S- or $-NR_{13}$- where R_{13} is hydrogen or C_1-C_4alkyl; and B is either C_3-C_8cycloalkyl; phenyl or phenyl substituted by C_1-C_8alkyl, C_2-C_8alkenyl, C_2-C_8alkynyl, C_3-C_8cycloalkyl, C_3-C_8cycloalkyl-C_1-C_4alkyl, C_1-C_8alkylthio, C_1-C_8alkylsulfonyl, C_1-C_8alkoxy, C_3-C_8alkenyloxy, C_3-C_8alkynyloxy, C_3-C_8cycloalkoxy, C_1-C_8alkoxy-C_1-C_4alkyl, C_1-C_8alkoxycarbonyl, C_3-C_8alkenyloxycarbonyl, C_3-C_8alkynyloxycarbonyl, C_1-C_8alkanoyl, C_1-C_8dialkylamino, C_1-C_8alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated; carboxyl; formyl; halogen; nitro; cyano; hydroxy or amino

4. A compound according to any of claims 1 to 3 wherein R_1 is hydrogen, C_1-C_{12}alkyl, C_2-C_{12}alkynyl or C_1-C_{12}haloalkyl; and R_2 is hydrogen and R_3 is phenyl, naphthyl, furyl, thienyl, imidazolyl, thiazolyl, oxazolyl, pyridyl, pyrimidinyl, benzothienyl, benzothiazolyl, chinolinyl, pyrazolyl, indolyl, benzimidazolyl or pyrrolyl, wherein each of the aromatic rings is optionally substituted with 1 to 3 substituents selected from C_1-C_8alkyl, C_2-C_8alkenyl, C_3-C_8cycloalkyl, C_1-C_8alkoxy, C_1-C_8alkylthio, C_1-C_8alkoxycarbonyl, C_1-C_8haloalkyl, C_1-C_8haloalkoxy, C_1-C_8haloalkylthio, halogen, nitro or cyano; and A is optionally substituted 1,2-phenylene; optionally substituted 2,3-pyridinylidene; optionally substituted 3,4-pyridinylidene; optionally substituted 2,3-thiophenylidene; optionally substituted 4,5-thiazolinylidene; optionally substituted 1,2-cyclohexylidene; optionally substituted 1,2-cyclopentylidene; optionally substituted 3,4-tetrahydrofuranylidene or optio-nally substituted 1,2-cyclopropylidene; and R_4 is hydrogen; C_1-C_8alkyl; C_1-C_8haloalkyl; C_2-C_8alkenyl; C_2-C_8alkynyl; C_1-C_8alkylthio; C_1-C_8haloalkylthio; C_1-C_8alkoxy; C_1-C_8haloalkoxy; C_1-C_8alkoxy-C_1-C_4alkyl; C_1-C_8alkoxycarbonyl; C_1-C_8alkanoyl; formyl; halogen; nitro; cyano or hydroxy; and R_5 is hydrogen; C_1-C_4alkyl; C_1-C_4haloalkyl; C_1-C_4alkoxy; C_1-C_4alkoxycarbonyl; C_1-C_4alkanoyl; formyl; halogen; cyano or hydroxy; and R_6 is hydrogen; C_1-C_8alkyl; C_3-C_8alkenyl; C_3-C_8alkynyl; C_1-C_6alkoxy-C_1-C_4alkyl; C_3-C_6alkenyloxy-C_1-C_4alkyl; C_3-C_6alkynyloxy-C_1-C_4alkyl; benzyl; benzyl substituted with C_1-C_8alkyl, C_2-C_8alkenyl, C_2-C_8alkynyl, C_1-C_8alkylthio, C_1-C_8alkoxy, C_1-C_8haloakyl, halogen, nitro or cyano; a group $-CH_2-C\equiv C-B$ where B is either C_1-C_8alkyl or C_3-C_8cycloalkyl, phenyl or phenyl substituted with C_1-C_8alkyl, C_1-C_8alkylthio, C_1-C_8alkoxy,

C_1-C_8haloalkyl, halogen, nitro or cyano; or a group -CH_2-CH_2-O-B where B is either C_3-C_6cycloalkyl, phenyl or phenyl substituted with C_1-C_8-alkyl, C_1-C_8-alkylthio, C_1-C_8-alkoxy, C_1-C_8-haloalkyl, halogen, nitro or cyano.

5. A compound according to any of claims 1 to 4 wherein R_1 is hydrogen, C_1-C_4alkyl, or C_2-C_5alkynyl; and R_2 is hydrogen and R_3 is phenyl or phenyl substituted with 1 to 3 substituents selected from C_1-C_8alkyl, C_2-C_8alkenyl, C_3-C_8cycloalkyl, C_1-C_8alkoxy, C_1-C_8alkylthio, C_1-C_8alkoxycarbonyl, C_1-C_8haloalkyl, C_1-C_8haloalkoxy, C_1-C_8haloalkylthio, halogen, nitro or cyano; and A is 1,2-phenylene; 2,3-pyridinylidene; 3,4-pyridinylidene or 2,3-thiophenylidene; each optionally substituted with halogen, C_1-C_6alkyl, C_1-C_6alkoxy, C_1-C_6haloalkyl, C_1-C_6alkoxycarbonyl, nitro or cyano; or is 1,2-cyclohexylidene; 1,2-cyclopentylidene; 3,4-tetrahydrofuranylidene or 1,2-cyclopropylidene, each optionally substituted with C_1-C_6-alkyl; and R_4 is hydrogen; C_1-C_4alkyl; C_1-C_4alkoxy; C_1-C_4haloalkoxy or halogen; and R_5 is hydrogen; C_1-C_4alkyl; halogen or cyano; and R_6 is C_1-C_6alkyl; C_3-C_6alkenyl; C_3-C_6alkynyl; C_1-C_6alkoxy-C_1-C_4alkyl; C_3-C_6alkenyloxy-C_1-C_4alkyl; C_3-C_6alkynyloxy-C_1-C_4alkyl; benzyl; benzyl substituted with C_1-C_4alkyl; C_1-C_8haloalkyl or halogen; a group –CH_2-C≡C-B where B is either C_3-C_6cycloalkyl, phenyl or phenyl substituted with by C_1-C_4alkyl or halogen, or a group –CH_2-CH_2-O-B where B is either C_3-C_6cycloalkyl, phenyl or phenyl substituted with C_1-C_8alkyl or halogen.

6. A compound according to any of claims 1 to 5 wherein R_1 is hydrogen or C_2-C_5alkynyl; and R_2 is hydrogen and R_3 is phenyl; C_{1-4}alkylphenyl or halophenyl; and A is 1,2-phenylene; 1,2-cyclohexylidene or 1,2-cyclopropylidene; and R_4 is hydrogen; methoxy or ethoxy; and R_5 is hydrogen; and R_6 is C_1-C_6alkyl; C_3-C_6alkenyl; C_3-C_6alkynyl; C_1-C_6alkoxy-C_1-C_4alkyl; C_3-C_6alkenyloxy-C_1-C_4alkyl; C_3-C_6alkynyloxy-C_1C_4alkyl; benzyl; benzyl substituted with C_1-C_4alkyl, C_1-C_8haloalkyl or halogen; a group –CH_2-C≡C-B where B is either C_3-C_6cycloalkyl, phenyl or phenyl substituted with C_1-C_4alkyl or halogen; or a group –CH_2-CH_2-O-B where B is either C_3-C_6cycloalkyl, phenyl or phenyl substituted with C_1-C_8alkyl or halogen.

7. A compound according to any one of claims 1 to 6 wheerein R_1 is hydrogen or propargyl; and R_2 is hydrogen; and R_3 is phenyl optionally substituted by one to two substituents selected from the group comprising methyl, ethyl, methoxy, fluoro, chloro, bromo, phenyl, trifluoromethyl, trifluoromethylthio or trifluoromethoxy; and A is 1,2-phenylene or 1,2-cyclohexylidene; and R_4 is hydrogen or methoxy; and R_5 is hydrogen;

and R_6 is selected from methyl, ethyl propyl, allyl, butenyl, propargyl, butynyl, pentynyl, cyclopropylpropargyl, phenylpropargyl, bromophenylpropargyl and chlorophenylpropargyl.

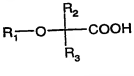
8. A compound according to any one of claims 1 to 7 wherein R_1 is propargyl; and R_2 is hydrogen; and R_3 is phenyl optionally substituted by one to two substituents selected from the group comprising fluoro, chloro and bromo, or is phenyl optionally substituted by one substituent selected from the group comprising methyl, ethyl, methoxy, phenyl, trifluoromethyl, trifluoromethylthio or trifluoromethoxy; and A is 1,2-phenylene or 1,2-cyclohexylidene; and R_4 is hydrogen or methoxy; and R_5 is hydrogen; and R_6 is selected from methyl, ethyl, propargyl, 3-butynyl and 3-pentynyl.

9. A compound according to claim 1 selected from the group comprising
N-(3',4'-dimethoxy-biphenyl-2-yl)-2-hydroxy-2-phenyl-acetamide,
2-(4-chlorophenyl)-N-(3',4'-dimethoxy-biphenyl-2-yl)-2-hydroxy-acetamide,
2-(4-bromophenyl)-N-(3',4'-dimethoxy-biphenyl-2-yl)-2-hydroxy-acetamide,
2-(3,4-dichlorophenyl)-N-(3',4'-dimethoxy-biphenyl-2-yl)-2-hydroxy-acetamide,
N-(3',4'-dimethoxy-biphenyl-2-yl)-2-phenyl-2-prop-2-ynyloxy-acetamide,
2-(4-chlorophenyl)-N-(3',4'-dimethoxy-biphenyl-2-yl)-2-prop-2-ynyloxy-acetamide,
2-(4-bromophenyl)-N-(3',4'-dimethoxy-biphenyl-2-yl)-2-prop-2-ynyloxy-acetamide,
2-(3,4-dichlorophenyl)-N-(3',4'-dimethoxy-biphenyl-2-yl)-2-prop-2-ynyloxy-acetamide,
2-hydroxy-N-(3'-methoxy-4'-prop-2-ynyloxy-biphenyl-2-yl)-2-phenyl-acetamide,
2-(4-chlorophenyl)-2-hydroxy-N-(3'-methoxy-4'-prop-2-ynyloxy-biphenyl-2-yl)-acetamide,
2-(4-bromophenyl)-2-hydroxy-N-(3'-methoxy-4'-prop-2-ynyloxy-biphenyl-2-yl)-acetamide,
2-(3,4-dichlorophenyl)-2-hydroxy-N-(3'-methoxy-4'-prop-2-ynyloxy-biphenyl-2-yl)-acetamide,
N-(3'-methoxy-4'-prop-2-ynyloxy-biphenyl-2-yl)-2-phenyl-2-prop-2-ynyloxy-acetamide,
2-(4-chlorophenyl)-N-(3'-methoxy-4'-prop-2-ynyloxy-biphenyl-2-yl)-2-prop-2-ynyloxy-acetamide,
2-(4-bromophenyl)-N-(3'-methoxy-4'-prop-2-ynyloxy-biphenyl-2-yl)-2-prop-2-ynyloxy-acetamide,
2-(3,4-dichlorophenyl)-N-(3'-methoxy-4'-prop-2-ynyloxy-biphenyl-2-yl)-2-prop-2-ynyloxy-acetamide,
2-hydroxy-N-(3'-methoxy-4'-pent-2-ynyloxy-biphenyl-2-yl)-2-phenyl-acetamide,
2-(4-chlorophenyl)-2-hydroxy-N-(3'-methoxy-4'-pent-2-ynyloxy-biphenyl-2-yl)-acetamide,
2-(4-bromophenyl)-2-hydroxy-N-(3'-methoxy-4'-pent-2-ynyloxy-biphenyl-2-yl)-acetamide,

2-(3,4-dichlorophenyl)-2-hydroxy-N-(3'-methoxy-4'-pent-2-ynyloxy-biphenyl-2-yl)-acetamide,
N-(3'-methoxy-4'-pent-2-ynyloxy-biphenyl-2-yl)-2-phenyl-2-prop-2-ynyloxy-acetamide,
2-(4-chlorophenyl)-N-(3'-methoxy-4'-pent-2-ynyloxy-biphenyl-2-yl)-2-prop-2-ynyloxy-acetamide,
2-(4-bromophenyl)-N-(3'-methoxy-4'-pent-2-ynyloxy-biphenyl-2-yl)-2-prop-2-ynyloxy-acetamide,
2-(3,4-dichlorophenyl)-N-(3'-methoxy-4'-pent-2-ynyloxy-biphenyl-2-yl)-2-prop-2-ynyloxy-acetamide,
N-[*trans*-2-(3,4-dimethoxy-phenyl)-cyclohexyl]-2-hydroxy-2-phenyl-acetamide,
2-(4-chlorophenyl)-N-[*trans*-2-(3,4-dimethoxy-phenyl)-cyclohexyl]-2-hydroxy-acetamide,
2-(4-bromophenyl)-N-[*trans*-2-(3,4-dimethoxy-phenyl)-cyclohexyl]-2-hydroxy-acetamide,
2-(3,4-dichlorophenyl)-N-[*trans*-2-(3,4-dimethoxy-phenyl)-cyclohexyl]-2-hydroxy-acetamide,
N-[*trans*-2-(3,4-dimethoxy-phenyl)-cyclohexyl]-2-phenyl-2-prop-2-ynyloxy-acetamide,
2-(4-chlorophenyl)-N-[*trans*-2-(3,4-dimethoxy-phenyl)-cyclohexyl]-2-prop-2-ynyloxy-acetamide,
2-(4-bromophenyl)-N-[*trans*-2-(3,4-dimethoxy-phenyl)-cyclohexyl]-2-prop-2-ynyloxy-acetamide,
2-(3,4-dichlorophenyl)-N-[*trans*-2-(3,4-dimethoxy-phenyl)-cyclohexyl]-2-prop-2-ynyloxy-acetamide,
2-hydroxy-N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-2-phenyl-acetamide,
2-(4-chlorophenyl)-2-hydroxy-N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-acetamide,
2-(4-bromophenyl)-2-hydroxy-N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-acetamide,
2-(3,4-dichlorophenyl)-2-hydroxy-N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-acetamide,
N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-2-phenyl-2-prop-2-ynyloxy-acetamide,
2-(4-chlorophenyl)-N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-2-prop-2-ynyloxy-acetamide,
2-(4-bromophenyl)-N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-2-prop-2-ynyloxy-acetamide,
2-(3,4-dichlorophenyl)-N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-2-prop-2-

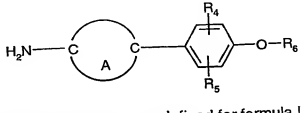
ynyloxy-acetamide,
2-hydroxy-N-[*trans*-2-(3-methoxy-4-pent-2-ynyloxy-phenyl)-cyclohexyl]-2-phenyl-acetamide,
2-(4-chlorophenyl)-2-hydroxy-N-[*trans*-2-(3-methoxy-4-pent-2-ynyloxy-phenyl)-cyclohexyl]-acetamide,
2-(4-bromophenyl)-2-hydroxy-N-[*trans*-2-(3-methoxy-4-pent-2-ynyloxy-phenyl)-cyclohexyl]-acetamide,
2-(3,4-dichlorophenyl)-2-hydroxy-N-[*trans*-2-(3-methoxy-4-pent-2-ynyloxy-phenyl)-cyclohexyl]-acetamide,
N-[*trans*-2-(3-methoxy-4-pent-2-ynyloxy-phenyl)-cyclohexyl]-2-phenyl-2-prop-2-ynyloxy-acetamide,
2-(4-chlorophenyl)-N-[*trans*-2-(3-methoxy-4-pent-2-ynyloxy-phenyl)-cyclohexyl]-2-prop-2-ynyloxy-acetamide,
2-(4-bromophenyl)-N-[*trans*-2-(3-methoxy-4-pent-2-ynyloxy-phenyl)-cyclohexyl]-2-prop-2-ynyloxy-acetamide, and
2-(3,4-dichlorophenyl)-N-[*trans*-2-(3-methoxy-4-pent-2-ynyloxy-phenyl)-cyclohexyl]-2-prop-2-ynyloxy-acetamide.

10. A process for the preparation of a compound of formula I according to claim 1, which comprises reacting an α-hydroxy- or α-alkoxy acid of formula II



(II)

wherein R_1, R_2 and R_3 are as defined for formula I, or a carboxyl-activated derivative of the acid of formula II , is reacted with an amine of formula III wherein A, R_4, R_5 and R_6, are as defined for formula I, with an amine of formula III

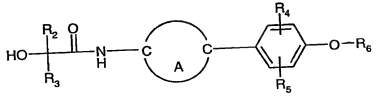


(III)

wherein A, R_4, R_5 and R_6, are as defined for formula I.

11. A process for the preparation of a compound of formula I wherein R_1 is as defined in claim 1 with the exception of hydrogen, which process comprises reacting an α-hydroxy

acid derivative of formula Ia



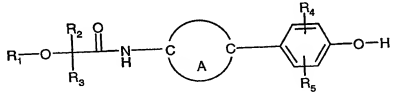
(Ia)

wherein A, R_2, R_3, R_4, R_5 and R_6 are as defined for formula I, with an alkyl-, alkenyl- or alkynylhalide of formula IV

R_1—X (IV)

wherein R_1 is as defined for formula I , with the exception of hydrogen, and where X is a leaving group like a halide such as a chloride or bromide, or a sulfonic ester such as a tosylate, mesylate or triflate.

12. A process for the preparation of a compound of formula I wherein R_6 is as defined in claim 1 with the exception of hydrogen, which process comprises reacting a phenol of formula Ib



(Ib)

where A, R_1, R_2, R_3, R_4, and R_5 are as defined for formula I, with a compound of formula V

Y—R_6 (V)

where R_6 is as defined for formula I but is not hydrogen and where Y is a leaving group like a halide such as a chloride or bromide or a sulfonic ester such as a tosylate, mesylate or triflate.

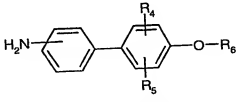
13. A composition for controlling and protecting against phytopathogenic microorganisms, comprising a compound of formula I according to claim 1 as active ingredient together with a suitable carrier.

14. The use of a compound of formula I according to claim 1 in protecting plants against infestation by phytopathogenic microorganisms.

15. A method of controlling and preventing an infestation of crop plants by phytopatho-

genic microorganisms, preferably fungal organisms, which comprises the application of a compound of formula I according to claim 1 as active ingredient to the plant, to parts of plants or to the locus thereof.

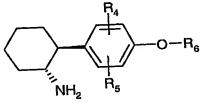
16. A compound of formula IIIa



(IIIa)

wherein R_4, R_5 and R_6 are as defined for formula I in claim 1.

17. A compound of formula IIIb



(IIIb)

wherein R_4, R_5 and R_6 are as defined for formula I in claim 1.

INTERNATIONAL SEARCH REPORT

International Application No
PCT/EP 03/08057

A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 C07C235/38 C07C235/36 C07C215/74 C07C217/80 C07C215/64
C07C217/74

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 7 C07C

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)
BEILSTEIN Data, CHEM ABS Data, EPO-Internal, WPI Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 94 29267 A (HOECHST SCHERING AGREVO GMBH) 22 December 1994 (1994-12-22) cited in the application claims 1-7,11-18 table 4, compounds 2.000 - 2.002, 2.006, 2.012, 2.016 --- -/--	1-15,17

[X] Further documents are listed in the continuation of box C.

[X] Patent family members are listed in annex.

* Special categories of cited documents :

'A' document defining the general state of the art which is not considered to be of particular relevance

'E' earlier document but published on or after the international filing date

'L' document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

'O' document referring to an oral disclosure, use, exhibition or other means

'P' document published prior to the international filing date but later than the priority date claimed

'T' later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

'X' document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

'Y' document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

'&' document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
27 October 2003	10/11/2003

Name and mailing address of the ISA	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Seufert, G

Form PCT/ISA/210 (second sheet) (July 1992)

INTERNATIONAL SEARCH REPORT

International Application No
PCT/EP 03/08057

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	NACHTSHEIM C M ET AL: "ASYMMETRISCHE REDUKTIVE AMINIERUNG VON CYCLOALKANONEN, 7. MITT. DIE ASYMMETRISCHE SYNTHESE VON CIS-1R,2R- UND CIS-1S,2S-2-ARYLCYCLOHEXANAMIN ASYMMETRIC REDUCTIVE AMINATION OF CYCLOALKANONES, VII: ASYMMETRIC SYNTHESIS OF CIS-1R,2R- AND CIS-1S,2S-2-ARYLCYCLOHEXANAMINES" ARCHIV DER PHARMAZIE, VCH VERLAGSGESELLSCHAFT MBH, WEINHEIM, DE, vol. 322, no. 4, 1 April 1989 (1989-04-01), pages 187-197, XP000614042 ISSN: 0365-6233 page 195, left column, last paragraph - right column, last line and table 5, compounds, 4d.r, 4d.s, 4f.r, 4f.s	1-5,10
X	EP 0 940 387 A (TOKYO TANABE CO) 8 September 1999 (1999-09-08) examples 16-19	1,10
X	DATABASE CROSSFIRE BEILSTEIN 'Online! Beilstein Institut zur Förderung der Chemischen Wissenschaften, Frankfurt am Main, DE; Database accession no. Reaction ID 470534 XP002259221 abstract & SMITH ET AL.: J. ORG. CHEM., 23, 1958, pages 524-26,	16
X	DATABASE CROSSFIRE BEILSTEIN 'Online! Beilstein Institut zur Förderung der Chemischen Wissenschaften, Frankfurt am Main, DE; Database accession no. Reaction ID 273427, BRN 3333458 XP002259222 abstract & COPP; WALLS: J. CHEM. SOC., 1950, page 311, 315, 316	16
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International Application No
PCT/EP 03/08057

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	DATABASE CROSSFIRE BEILSTEIN 'Online! Beilstein Institut zur Förderung der Chemischen Wissenschaften, Frankfurt am Main, DE; Database accession no. Reaction ID 21267 XP002259224 abstract & BELL; KENYON: J. CHEM. SOC., 1926, page 2712 ---	16
X	DATABASE CROSSFIRE BEILSTEIN 'Online! Beilstein Institut zur Förderung der Chemischen Wissenschaften, Frankfurt am Main, DE; Database accession no. Reaction ID 7285501 XP002259225 abstract & MIKHANT'EV; RADZIUNAS: TR., PROBL. LAB. KHIM. VYSOKOMOL. SOEDIN VORONEZH. GOS. UNIV., 3, 1964, page 14 ---	16
X	DATABASE CROSSFIRE BEILSTEIN 'Online! Beilstein Institut zur Förderung der Chemischen Wissenschaften, Frankfurt am Main, DE; Database accession no. Reaction ID 30776 XP002259226 abstract & TREFILOWA; POSTOWSKII: DOKL. AKAD. NAUK SSSR, 114, 1957, page 116 ---	16
X	DATABASE CROSSFIRE BEILSTEIN 'Online! Beilstein Institut zur Förderung der Chemischen Wissenschaften, Frankfurt am Main, DE; Database accession no. BRN 3097900 XP002259227 abstract & ARCORIA; SCARLATA: ANN. CHIM. (ROME), 58, 1968, page 32 ---	16
X	DATABASE CROSSFIRE BEILSTEIN 'Online! Beilstein Institut zur Förderung der Chemischen Wissenschaften, Frankfurt am Main, DE; Database accession no. BRN 7589821 XP002259228 abstract & TETRAHEDRON LETT., vol. 38, no. 3, 1997, pages 399-402, --- -/--	16

INTERNATIONAL SEARCH REPORT

Interm[redacted] Application No
PCT/[redacted]03/08057

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	DATABASE CA 'Online! CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; DE GEE, A. J. ET AL: "Stereospecific synthesis of chiral N-(p-methoxyphenylalkyl)pyridinium" retrieved from STN Database accession no. 81:3733 XP002259229 abstract & J. CHEM. SOC., PERKIN TRANS 1: ORGANIC AND BIO-ORGANIC CHEMISTRY (1972-1999), no. 6, 1974, pages 676-9,	17
X	DATABASE CA 'Online! CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; TRAGER, W. F.; HUITRIC, A. C. : "cis- and trans-2-(3,4,5-Trimethoxyphenyl)cyclohexyl amine" retrieved from STN Database accession no. 63:88571 XP002259230 abstract & J. PHARMACEUTICAL SCIENCE, vol. 54, no. 10, 1965, pages 1552-3,	17
X	US 3 803 232 A (BLATTER H ET AL) 9 April 1974 (1974-04-09) column 5, line 6 - line 7	17
E	WO 03 078382 A (SAKAGUCHI HIROSHI ;SUMITOMO CHEMICAL CO (JP)) 25 September 2003 (2003-09-25) abstract; examples; table 1	1-16
A	WO 00 41998 A (NOVARTIS ERFINDUNGEN ;KUNZ WALTER (CH); NOVARTIS AG (CH); ZELLER M) 20 July 2000 (2000-07-20) claims; examples; tables	1-15
A	WO 96 17840 A (AGREVO UK LTD ;DOELLER UWE (DE); BRAUN PETER (DE); SACHSE BURKHARD) 13 June 1996 (1996-06-13) cited in the application claims; examples; tables	1-15

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2

Although the search for the intermediate compounds of claim 17 could be carried out completely, it revealed a large number of documents relevant ot the issue of novelty. So many documents were retrieved that it is impossible to determine which parts of the claim may be said to define subject-matter for which protection might legitimately be sought (Article 6 PCT). The search report may therefore not considered to be complete for compounds of claim 17. The cited documents are merely an arbitrary selection of relevant documents.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

INTERNATIONAL SEARCH REPORT

International application No.
PCT/EP 03/08057

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

2. ☒ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
see FURTHER INFORMATION sheet PCT/ISA/210

3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this International application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.

2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.

3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:

4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

☐ The additional search fees were accompanied by the applicant's protest.

☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

Information on patent family members

Application No PCT/EP 03/08057

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
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		CZ 20012461 A3	17-10-2001
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